```
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PASSWORD:
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 NEWS  2          "Ask CAS" for self-help around the clock
 NEWS  3  FEB 25  CA/CAPLUS - Russian Agency for Patents and Trademarks
                  (ROSPATENT) added to list of core patent offices covered
 NEWS  4  FEB 28  PATDPAFULL - New display fields provide for legal status
                  data from INPADOC
 NEWS  5  FEB 28  BABS - Current-awareness alerts (SDIs) available
 NEWS  6  FEB 28  MEDLINE/LMEDLINE reloaded
 NEWS  7  MAR 02  GBFULL: New full-text patent database on STN
 NEWS  8  MAR 03  REGISTRY/ZREGISTRY - Sequence annotations enhanced
 NEWS  9  MAR 03  MEDLINE file segment of TOXCENTER reloaded
 NEWS  10 MAR 22  KOREAPAT now updated monthly; patent information enhanced
 NEWS  11 MAR 22  Original IDE display format returns to REGISTRY/ZREGISTRY
 NEWS  12 MAR 22  PATDPASPC - New patent database available
 NEWS  13 MAR 22  REGISTRY/ZREGISTRY enhanced with experimental property tags
 NEWS  14 APR 04  EPFULL enhanced with additional patent information and new
                  fields
 NEWS  15 APR 04  EMBASE - Database reloaded and enhanced
 NEWS  16 APR 18  New CAS Information Use Policies available online
 NEWS  17 APR 25  Patent searching, including current-awareness alerts (SDIs),
                  based on application date in CA/CAplus and USPATFULL/USPAT2
                  may be affected by a change in filing date for U.S.
                  applications.
 NEWS  18 APR 28  Improved searching of U.S. Patent Classifications for
                  U.S. patent records in CA/CAplus

 NEWS EXPRESS  JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

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FILE 'HOME' ENTERED AT 14:10:07 ON 23 MAY 2005

=> file reg
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

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STRUCTURE FILE UPDATES:    22 MAY 2005  HIGHEST RN 850859-04-0
DICTIONARY FILE UPDATES:   22 MAY 2005  HIGHEST RN 850859-04-0

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*********************************************************************
*                                                                   *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                   *
*********************************************************************

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Experimental and calculated property data are now available. For more
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to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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Uploading C:\Program Files\Stnexp\Queries\10679215.str
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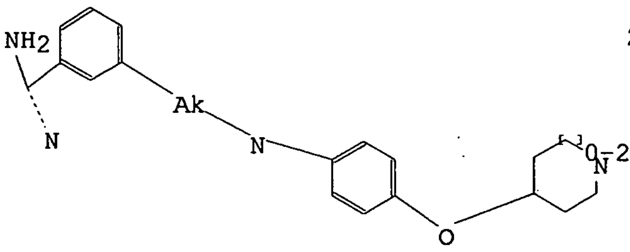

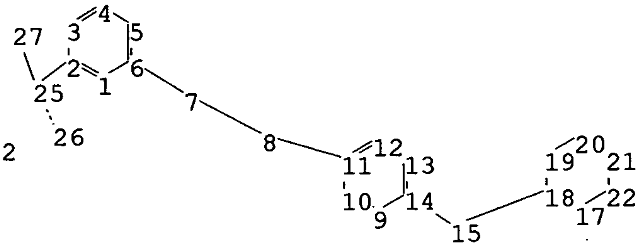

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7  8  15  25  26  27
ring nodes :
1  2  3  4  5  6  9  10  11  12  13  14  17  18  19  20  21  22
chain bonds :
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ring bonds :
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exact/norm bonds :
6-7  7-8  8-11  14-15  15-18  17-18  17-22  18-19  19-20  20-21  21-22  25-26
25-27
exact bonds :
2-25
normalized bonds :
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isolated ring systems :
containing 1 : 9 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:CLASS  17:Atom  18:Atom  19:Atom  20:Atom
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Generic attributes :
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L1      STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
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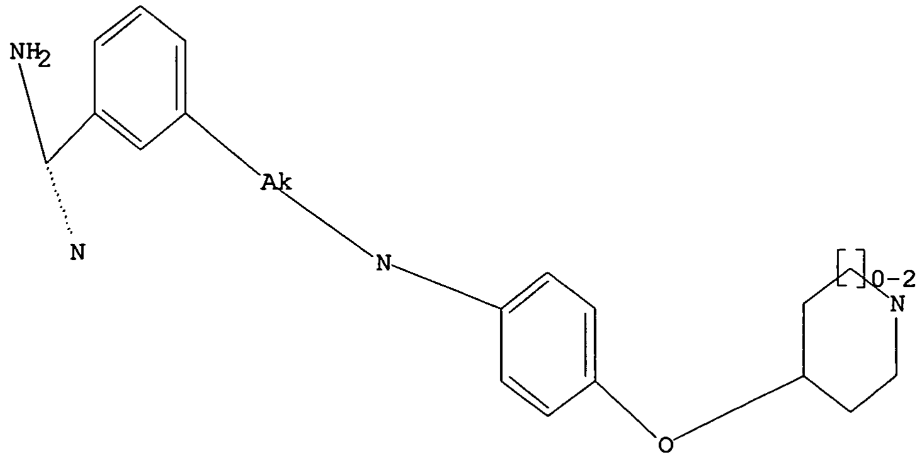

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Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SCREEN SEARCH COMPLETED -      51 TO ITERATE

100.0% PROCESSED      51 ITERATIONS                           30 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          592 TO     1448
PROJECTED ANSWERS:             272 TO      928

L2            30 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 14:10:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    1038 TO ITERATE

100.0% PROCESSED    1038 ITERATIONS                          563 ANSWERS
SEARCH TIME: 00.00.01

L3           563 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     161.33     161.54

FILE 'CAPLUS' ENTERED AT 14:10:43 ON 23 MAY 2005
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FILE LAST UPDATED: 22 May 2005  (20050522/ED)

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  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> s l3
L4          10 L3

=> s l4 and pd<march 2001
      21375180 PD<MARCH 2001
                 (PD<20010300)
L5           2 L4 AND PD<MARCH 2001

=> dis l5 1-2 bib abs hitstr

L5   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2001:101104  CAPLUS
DN   134:162918
TI   Preparation of piperidinyloxyamidinophenylpropenylbenzenamines as
     anticoagulants.
IN   Guilford, William J.; Sakata, Steven T.; Shaw, Kenneth J.; Wu, Shung; Xu,
     Wei; Zhao, Zhuchun
PA   Berlex Laboratories, Inc., USA
SO   PCT Int. Appl., 99 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
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             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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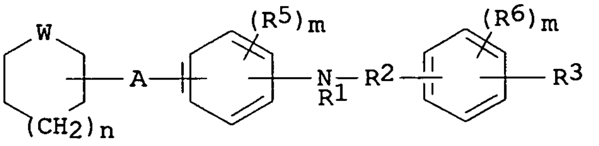

I

AB Title compds. [I; A = O, imino; W = imino, S, O; m = 0-4; n = 0, 1; R1 = H, alkyl, alkylcarbonyl, alkoxycarbonylalkyl, carboxyalkyl, PhCH2, etc.; R2 = [C(R7)2]m, [C(R7)2]m(CR8):CH, CR7R8Ph; R3 = C(:NH)NH2, C(:NH)NHOR7, etc.; R5 = H, alkyl, halo, haloalkyl, NO2, OH, alkoxy, CO2H, etc.; R6 = H, alkyl, OH, alkoxy, (substituted) aralkoxy; R7, R8 = H, alkyl, aryl, aralkyl], were prepared as antithrombotics (no data). Thus, 4-[N-(tert-butoxycarbonyl)piperidin-4-yloxy]benzeneamine (preparation given), 3-[(chloromethyl)carbonylamino]-4-benzyloxybenzonitrile (preparation given), K2CO3, and NaI were heated 5.5 h at 80° in DMF to give 4-[N''-(tert-butoxycarbonyl)piperidin-4-yloxy]-N-[N'-(6-benzyloxy-3-cyanophenyl)aminocarbonyl]methylbenzeneamine. The product in EtOH/CH2Cl2 was treated with HCl under ice cooling to give 4-(piperidin-4-yloxy)-N-[N'-(6-benzyloxy-3-amidinophenyl)aminocarbonyl]methylbenzeneamine.

IT **325456-57-3P 325456-58-4P 325456-59-5P**
325456-60-8P 325456-61-9P 325456-62-0P
325456-63-1P 325456-64-2P 325456-65-3P
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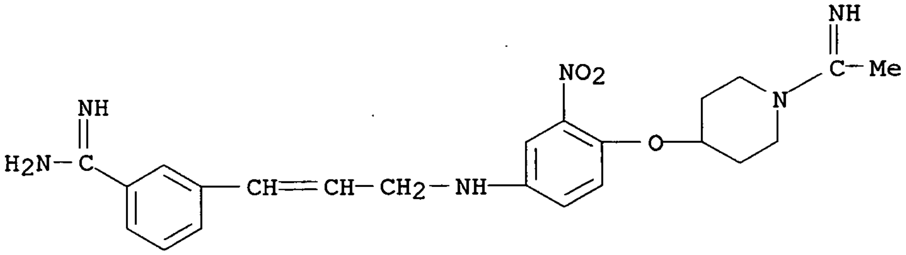
325457-44-1P 325458-43-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinyloxyamidinophenylpropenylbenzenamines as anticoagulants)

RN 325456-57-3 CAPLUS
CN Benzenecarboximidamide, 3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-nitrophenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)



RN 325456-58-4 CAPLUS
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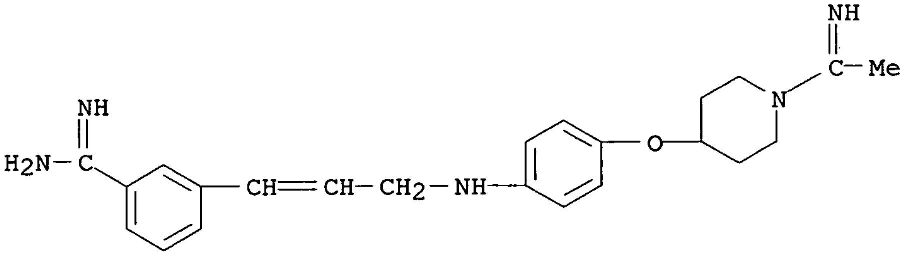
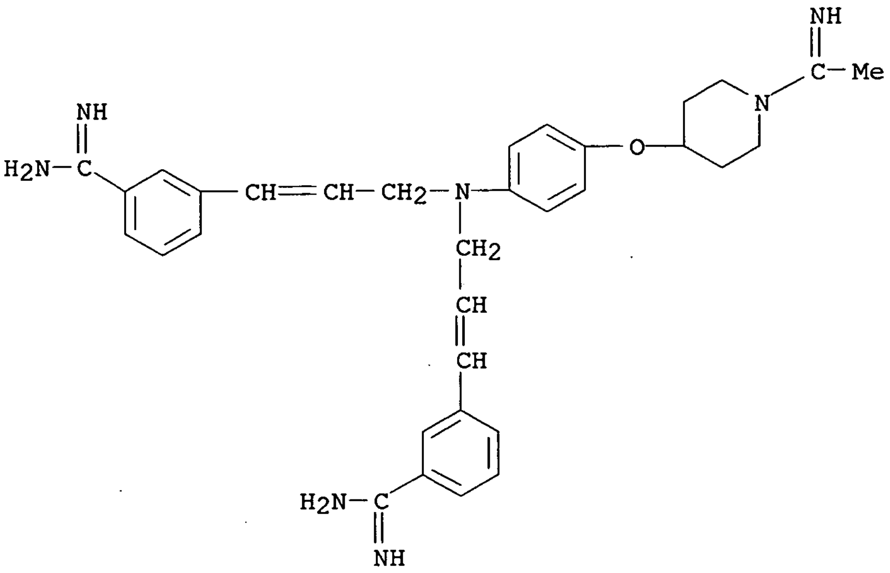
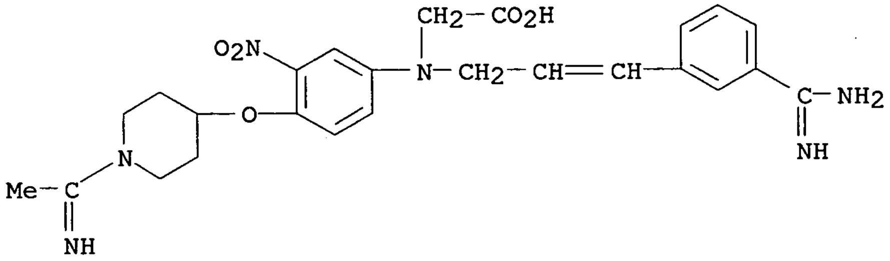


RN 325456-59-5 CAPLUS
CN Benzenecarboximidamide, 3,3'-[[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]imino]di-1-propene-3,1-diyl]bis- (9CI) (CA INDEX NAME)



RN 325456-60-8 CAPLUS

CN Glycine, N-[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]-N-[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-nitrophenyl]- (9CI) (CA INDEX NAME)



RN 325456-61-9 CAPLUS

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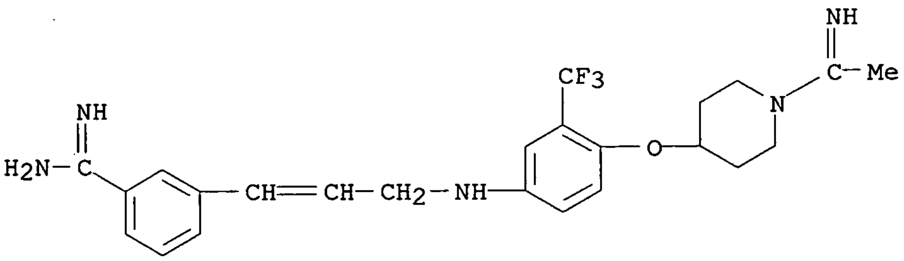

RN 325456-62-0 CAPLUS

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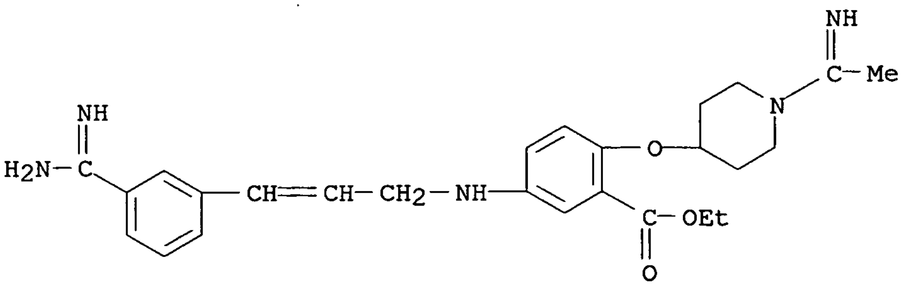
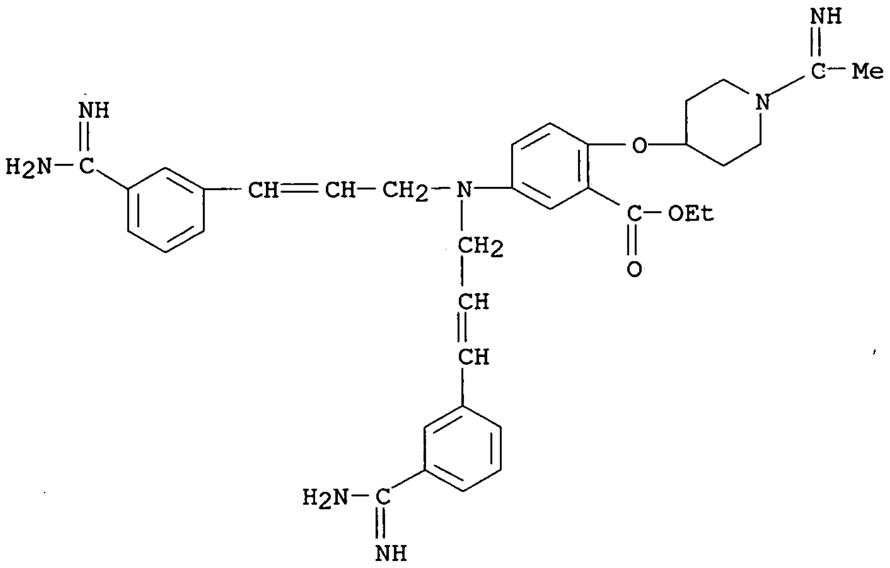


RN 325456-63-1 CAPLUS
CN Benzoic acid, 5-[bis[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 325456-64-2 CAPLUS
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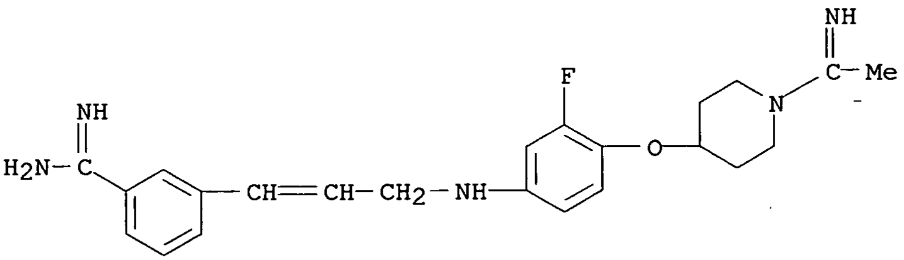
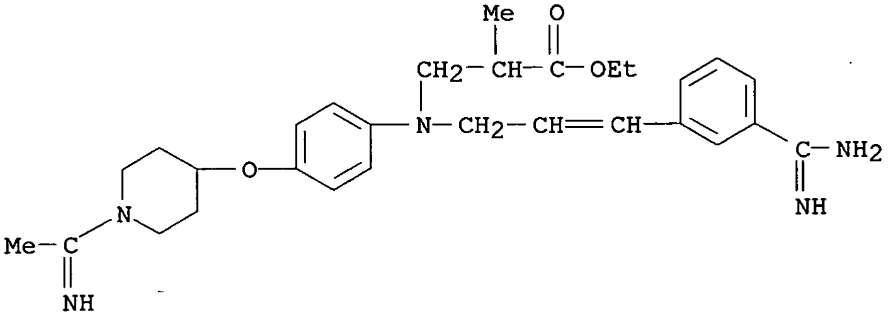


RN 325456-65-3 CAPLUS

CN Propanoic acid, 3-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 325456-66-4 CAPLUS
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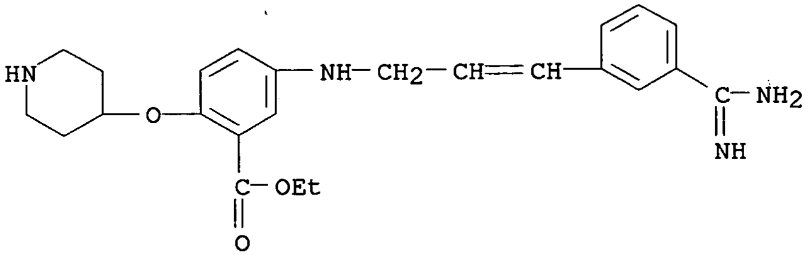
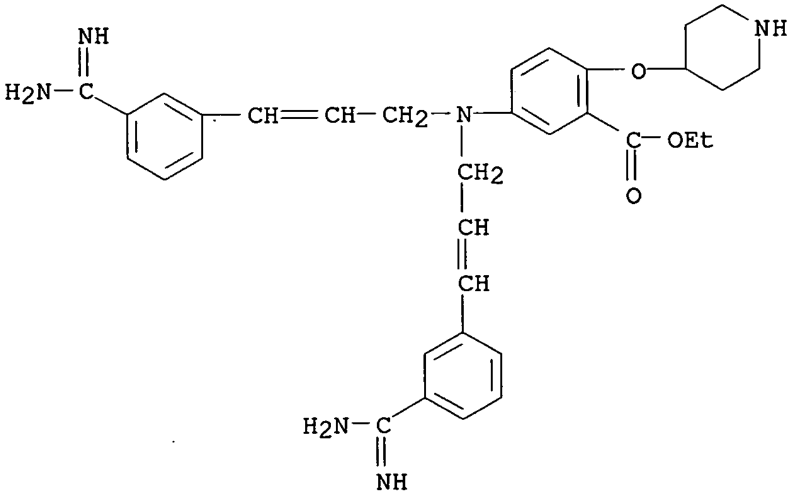


RN 325456-67-5 CAPLUS
CN Benzoic acid, 5-[bis[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]-2-(4-piperidinyloxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 325456-68-6 CAPLUS
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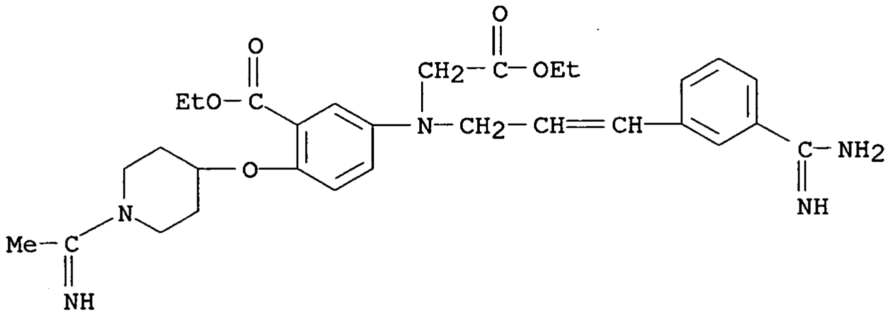

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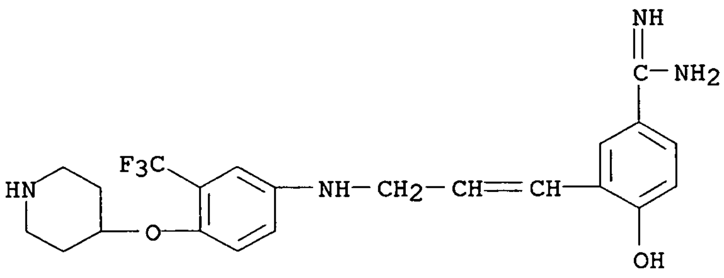
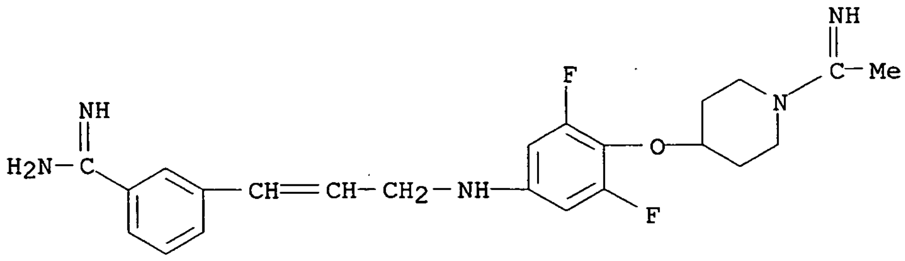


RN 325456-70-0 CAPLUS
CN Benzenecarboximidamide, 3-[3-[[3,5-difluoro-4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)



RN 325456-71-1 CAPLUS
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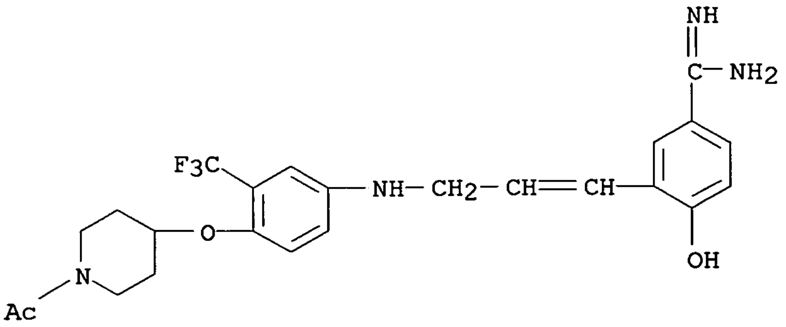
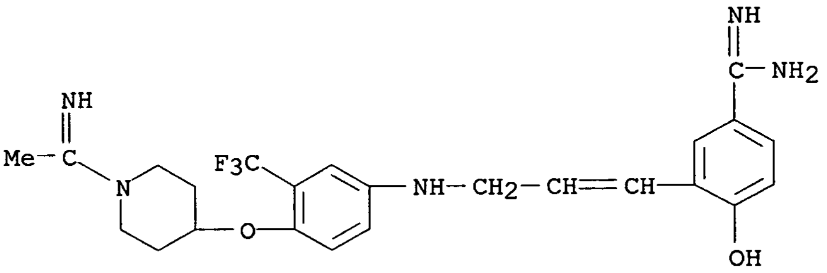


RN 325456-72-2 CAPLUS

CN Benzenecarboximidamide, 4-hydroxy-3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)



RN 325456-73-3 CAPLUS

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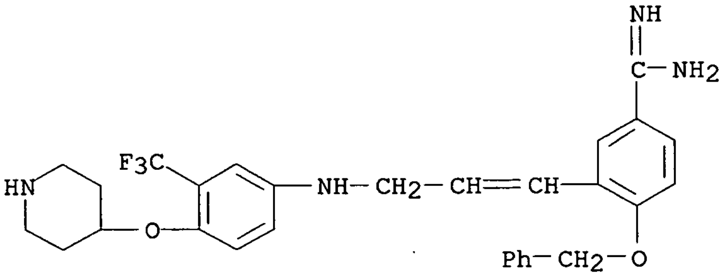
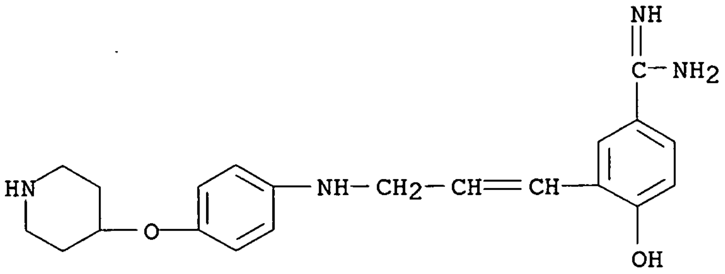
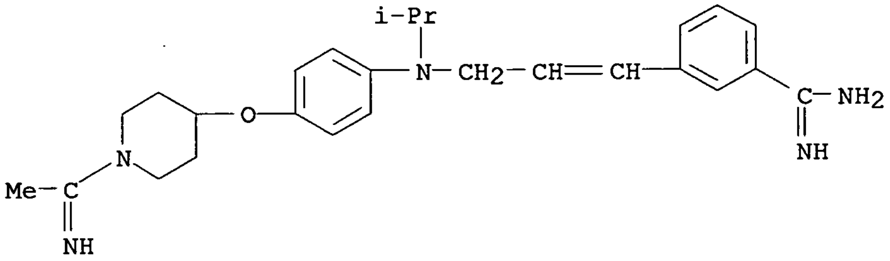


RN 325456-74-4 CAPLUS

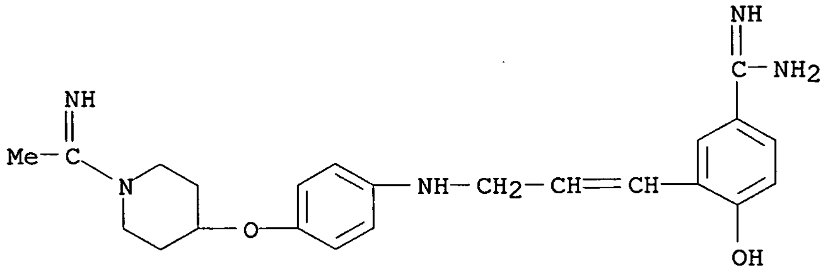
CN Benzenecarboximidamide, 4-hydroxy-3-[3-[[4-(4-piperidinyloxy)phenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)



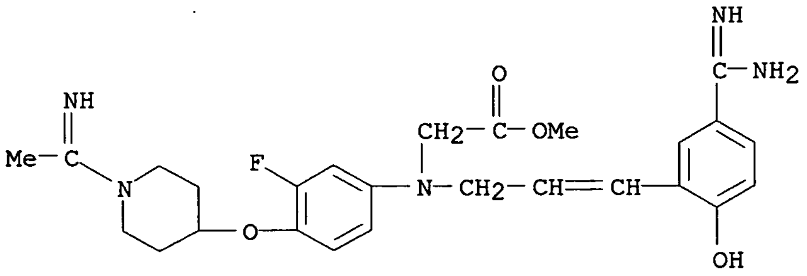
RN 325456-75-5 CAPLUS
CN Benzenecarboximidamide, 3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl](1-methylethyl)amino]-1-propenyl]- (9CI) (CA INDEX NAME)



RN 325456-76-6 CAPLUS
CN Benzenecarboximidamide, 4-hydroxy-3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)

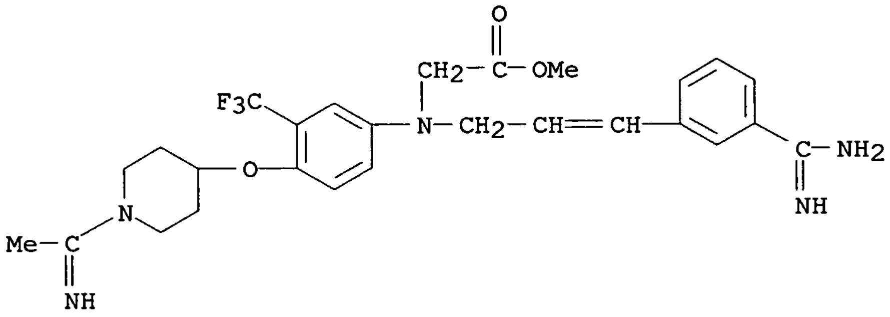


RN 325456-77-7 CAPLUS
CN Glycine, N-[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]-N-[3-fluoro-4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



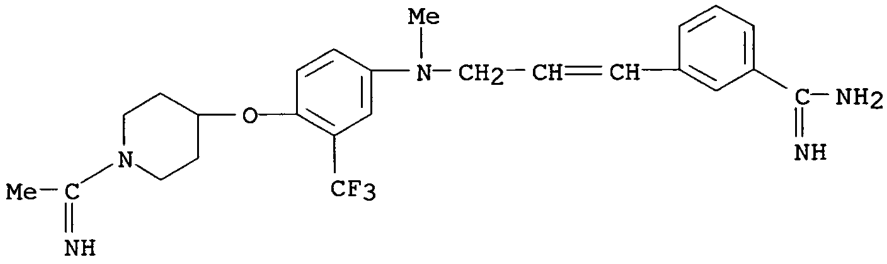
RN 325456-78-8 CAPLUS

CN Glycine, N-[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]-N-[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



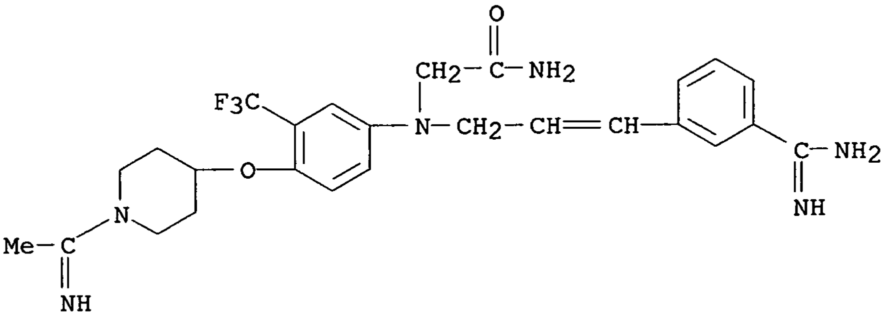
RN 325456-79-9 CAPLUS

CN Benzenecarboximidamide, 3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]methylamino]-1-propenyl]- (9CI) (CA INDEX NAME)

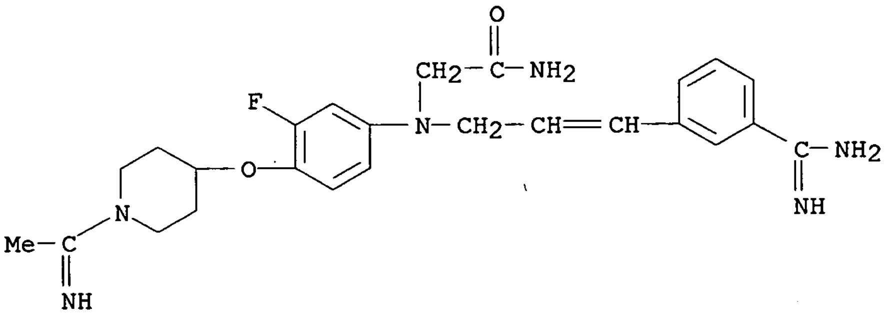


RN 325456-80-2 CAPLUS

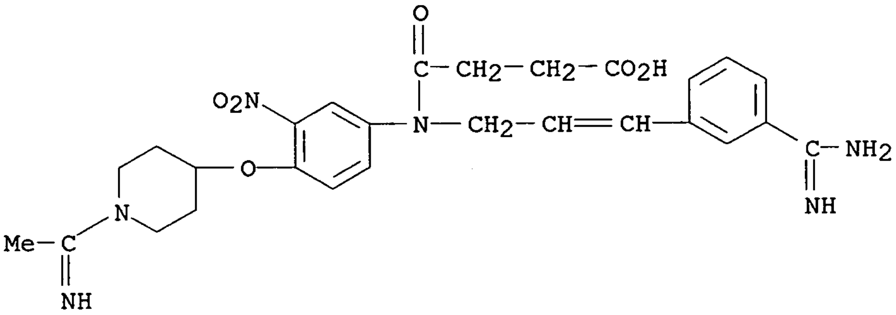
CN Acetamide, 2-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)



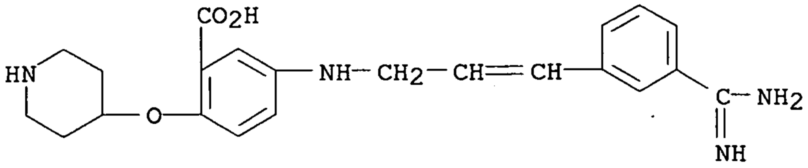
RN 325456-81-3 CAPLUS
CN Acetamide, 2-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-fluoro-4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]- (9CI) (CA INDEX NAME)



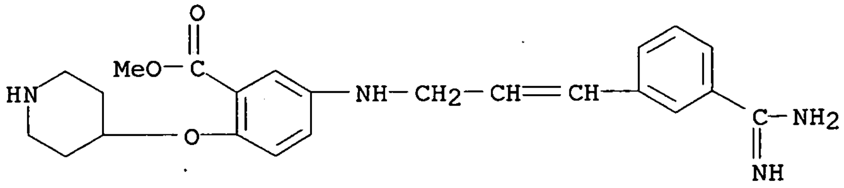
RN 325456-82-4 CAPLUS
CN Butanoic acid, 4-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-nitrophenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



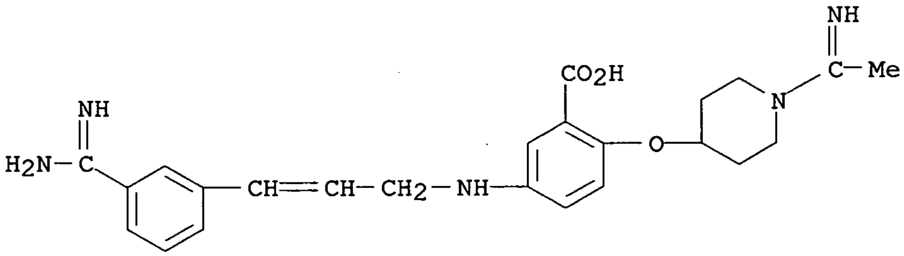
RN 325456-83-5 CAPLUS
CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]-2-(4-piperidinyloxy)- (9CI) (CA INDEX NAME)



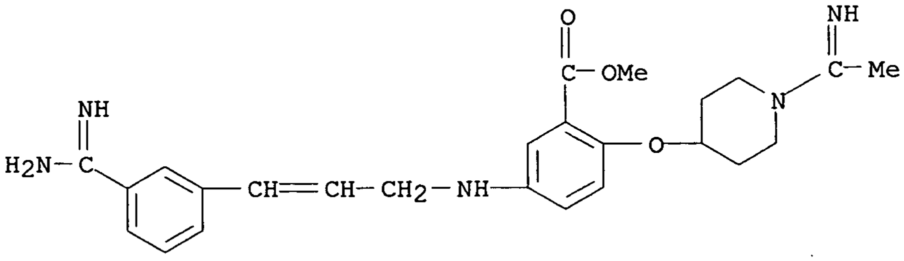
RN 325456-84-6 CAPLUS
CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]-2-(4-piperidinyloxy)-, methyl ester (9CI) (CA INDEX NAME)



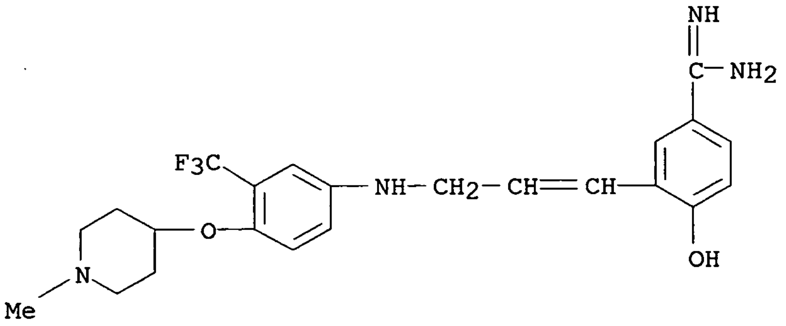
RN 325456-85-7 CAPLUS
CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



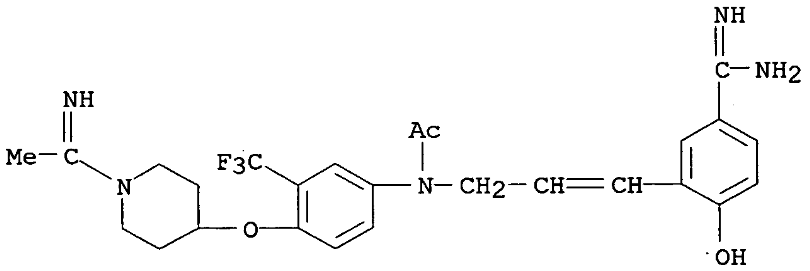
RN 325456-86-8 CAPLUS
CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



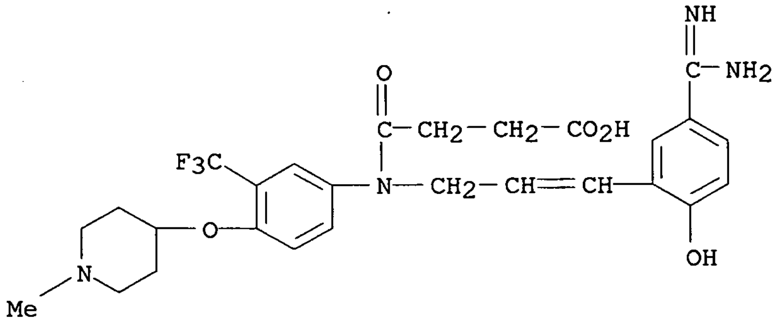
RN 325456-87-9 CAPLUS
CN Benzenecarboximidamide, 4-hydroxy-3-[3-[[4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)



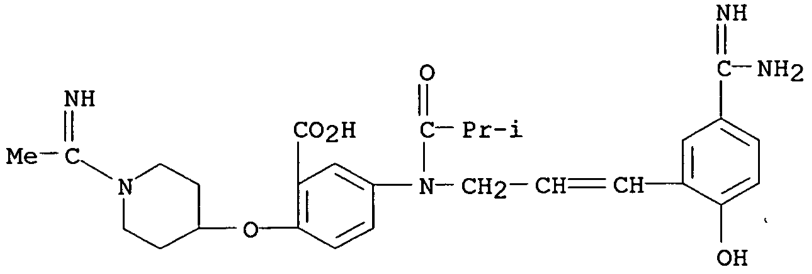
RN 325456-88-0 CAPLUS
CN Acetamide, N-[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]-N-[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 325456-89-1 CAPLUS
CN Butanoic acid, 4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)

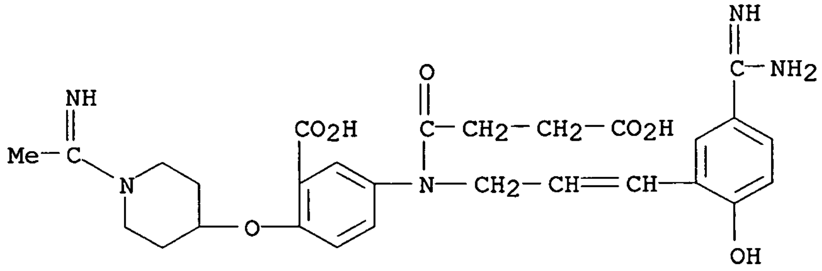


RN 325456-90-4 CAPLUS
CN Benzoic acid, 5-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl](2-methyl-1-oxopropyl)amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



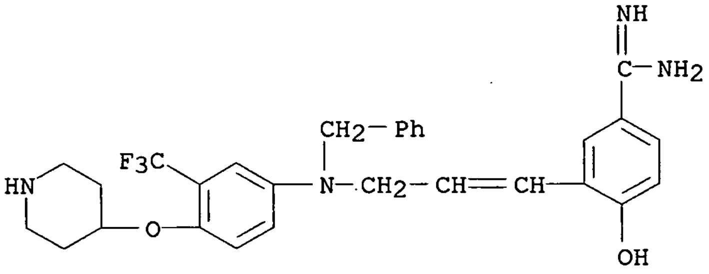
RN 325456-91-5 CAPLUS

CN Benzoic acid, 5-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



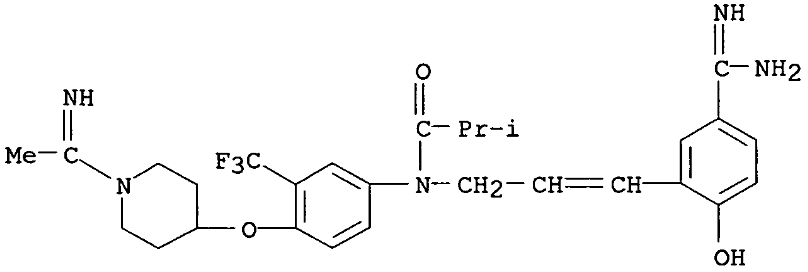
RN 325456-92-6 CAPLUS

CN Benzenecarboximidamide, 4-hydroxy-3-[3-[(phenylmethyl)[4-(4-piperidinyloxy)-3-(trifluoromethyl)phenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)

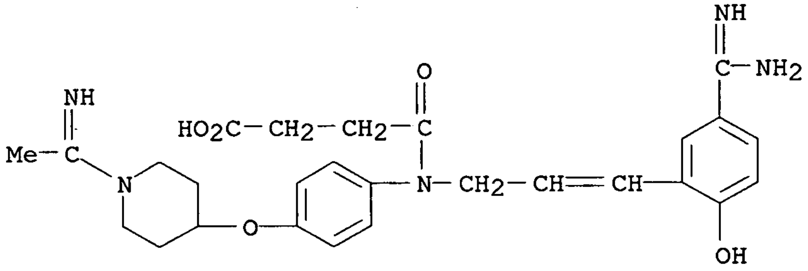


RN 325456-93-7 CAPLUS

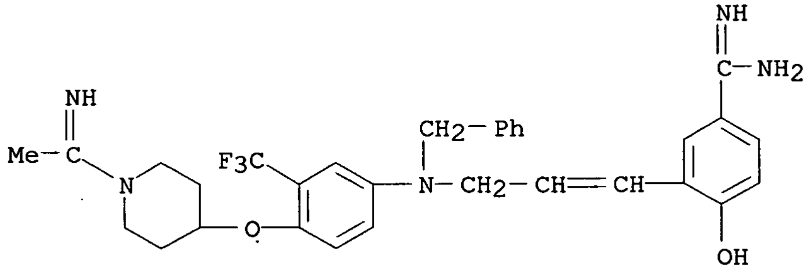
CN Propanamide, N-[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]-N-[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]-2-methyl- (9CI) (CA INDEX NAME)



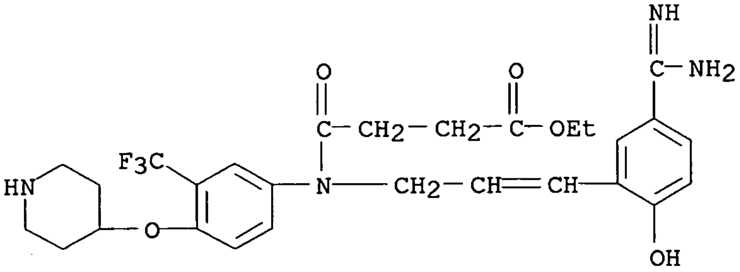
RN 325456-94-8 CAPLUS
CN Butanoic acid, 4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



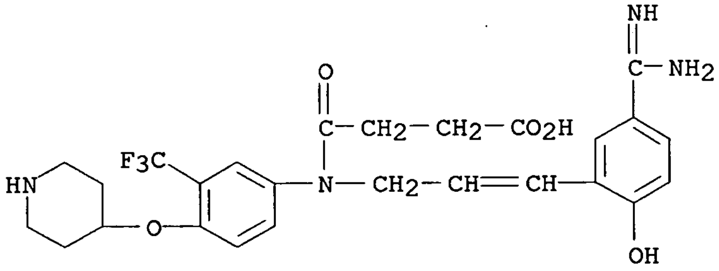
RN 325456-95-9 CAPLUS
CN Benzenecarboximidamide, 4-hydroxy-3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl](phenylmethyl)amino]-1-propenyl]- (9CI) (CA INDEX NAME)



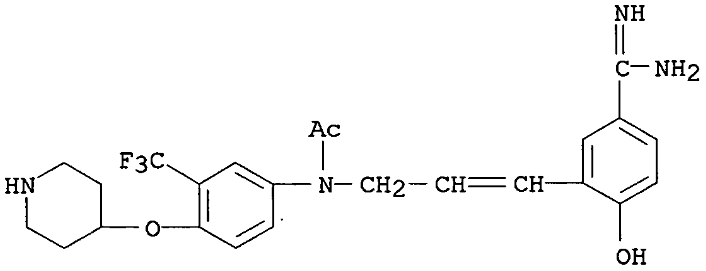
RN 325456-96-0 CAPLUS
CN Butanoic acid, 4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-(4-piperidinyloxy)-3-(trifluoromethyl)phenyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



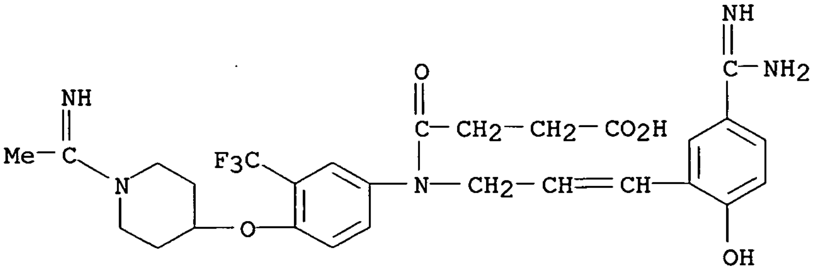
RN 325456-97-1 CAPLUS
CN Butanoic acid, 4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-(4-piperidinyloxy)-3-(trifluoromethyl)phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



RN 325456-98-2 CAPLUS
CN Acetamide, N-[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]-N-[4-(4-piperidinyloxy)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

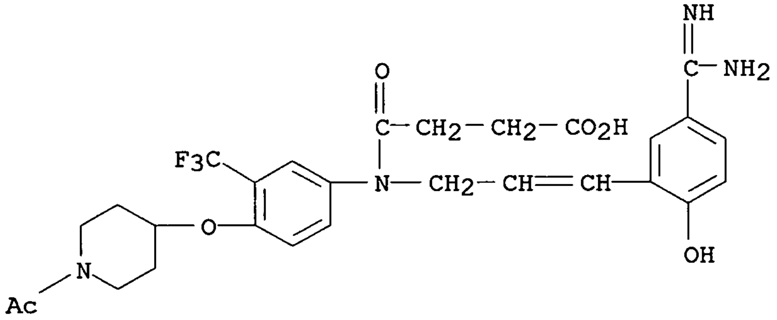


RN 325456-99-3 CAPLUS
CN Butanoic acid, 4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



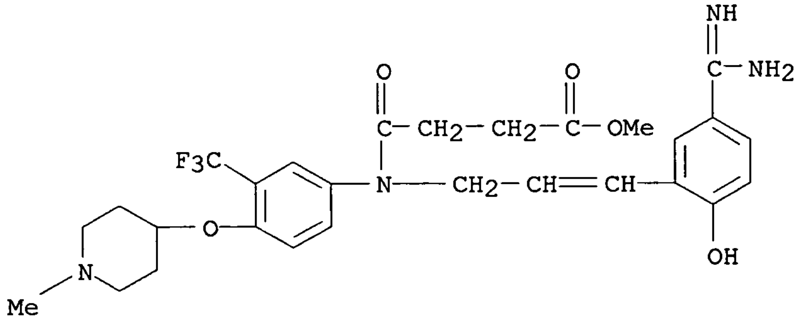
RN 325457-00-9 CAPLUS

CN Butanoic acid, 4-[[4-[(1-acetyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl][3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



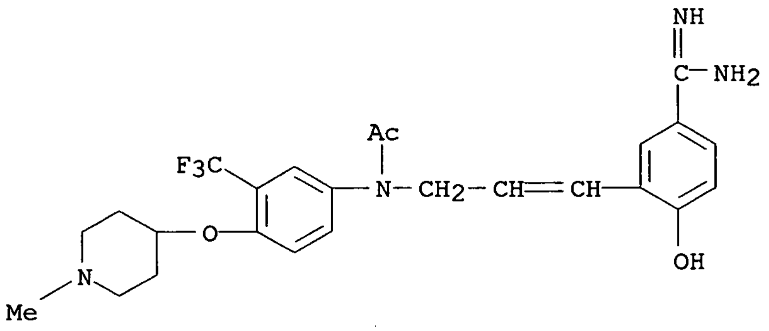
RN 325457-01-0 CAPLUS

CN Butanoic acid, 4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]amino]-4-oxo-, methyl ester (9CI) (CA INDEX NAME)

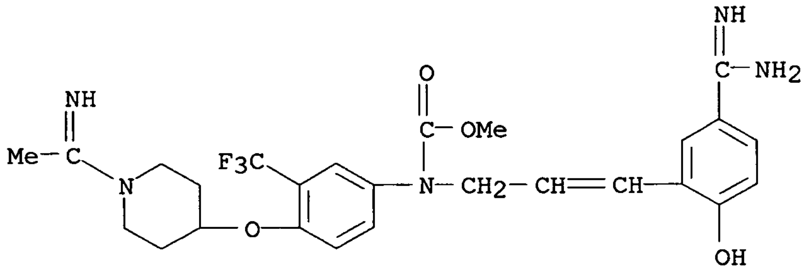


RN 325457-02-1 CAPLUS

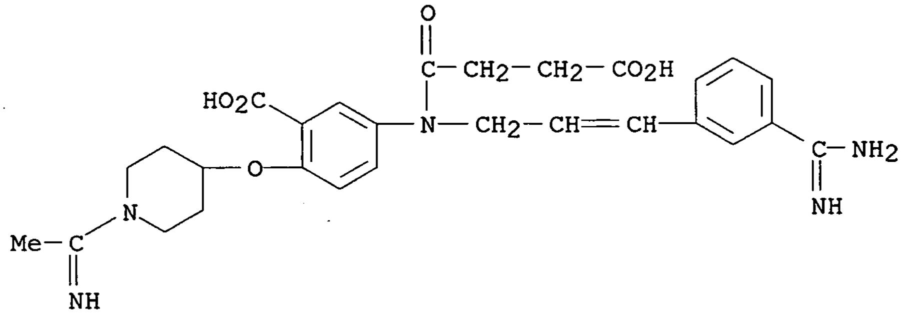
CN Acetamide, N-[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]-N-[4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



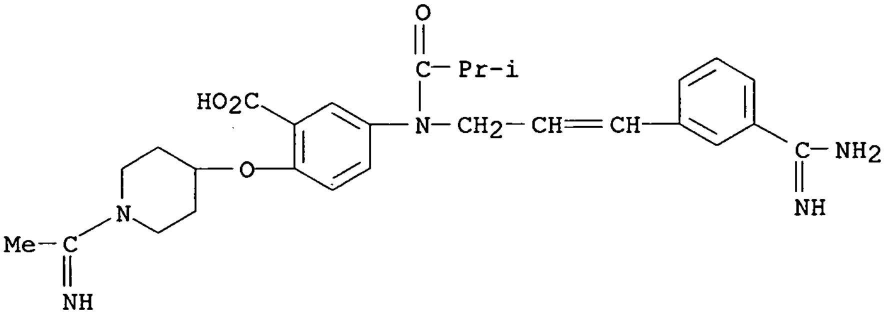
RN 325457-03-2 CAPLUS
CN Carbamic acid, [3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



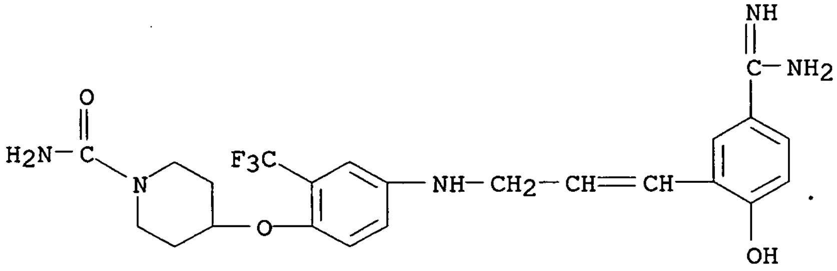
RN 325457-04-3 CAPLUS
CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



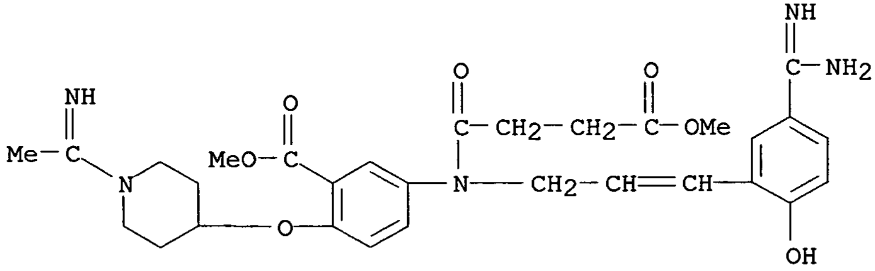
RN 325457-05-4 CAPLUS
CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl](2-methyl-1-oxopropyl)amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



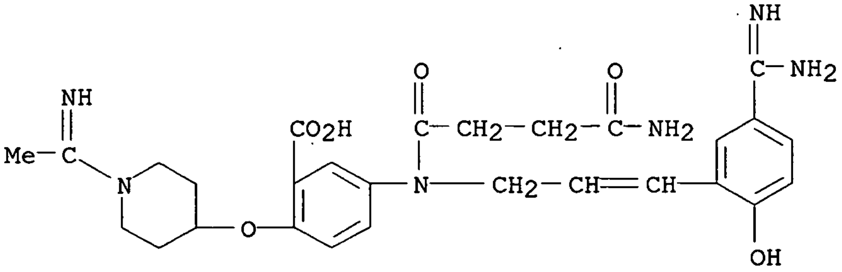
RN 325457-06-5 CAPLUS
CN 1-Piperidinecarboxamide, 4-[4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]amino]-2-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



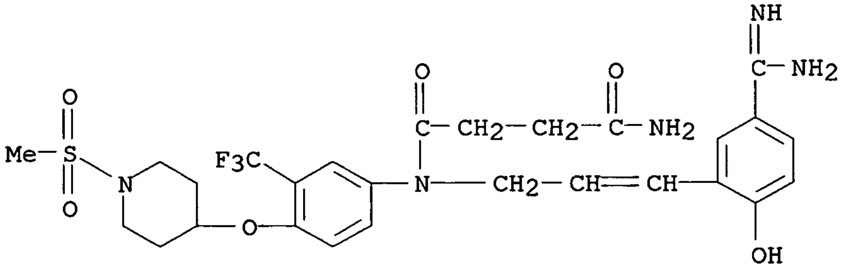
RN 325457-07-6 CAPLUS
CN Benzoic acid, 5-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl](4-methoxy-1,4-dioxobutyl)amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



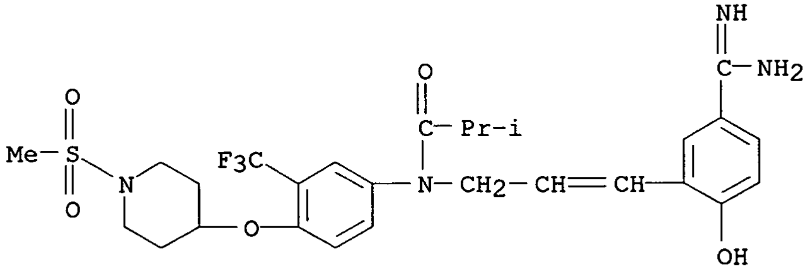
RN 325457-08-7 CAPLUS
CN Benzoic acid, 5-[(4-amino-1,4-dioxobutyl)[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



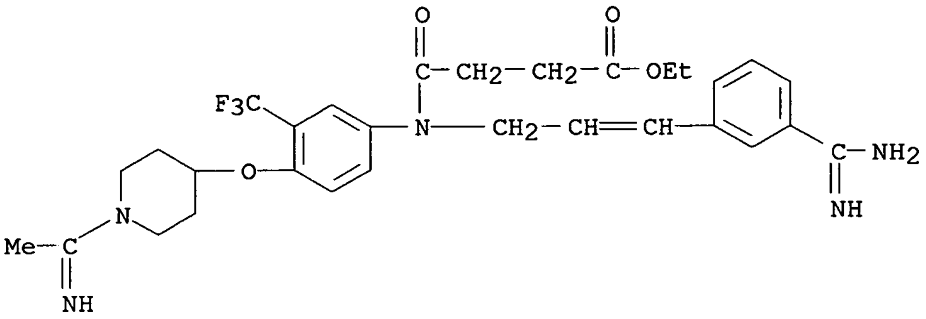
RN 325457-09-8 CAPLUS
CN Butanediamide, N-[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]-N-[4-[[1-(methylsulfonyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



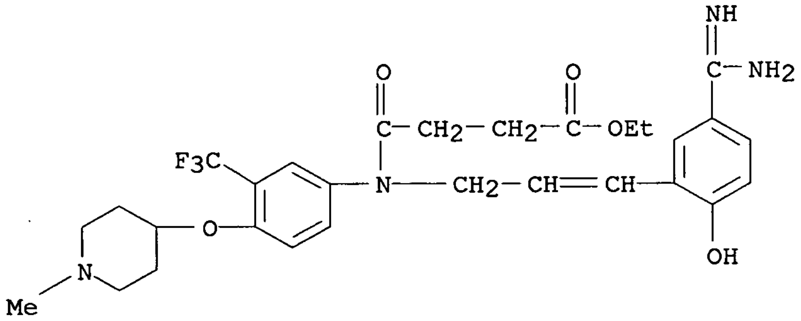
RN 325457-10-1 CAPLUS
CN Propanamide, N-[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]-2-methyl-N-[4-[[1-(methylsulfonyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



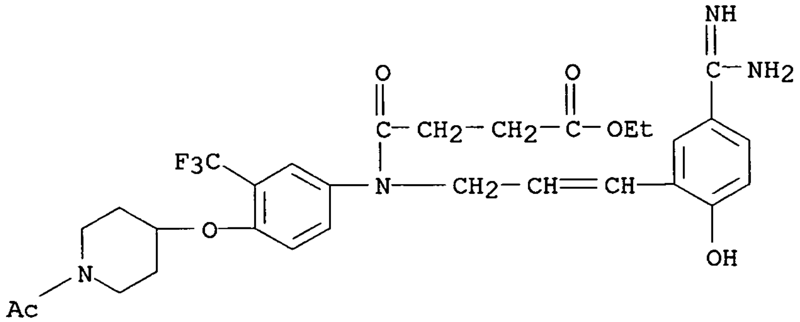
RN 325457-11-2 CAPLUS
CN Butanoic acid, 4-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



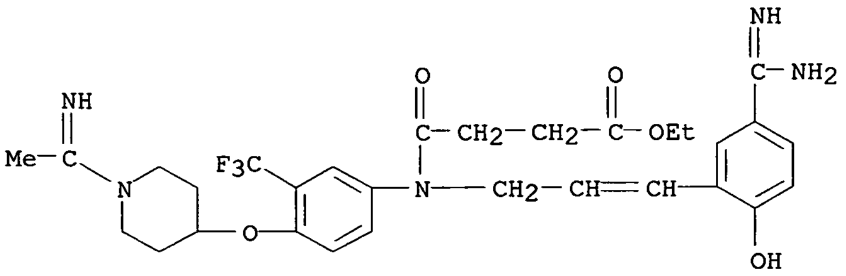
RN 325457-12-3 CAPLUS
CN Butanoic acid, 4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 325457-13-4 CAPLUS
CN Butanoic acid, 4-[[4-[(1-acetyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl][3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

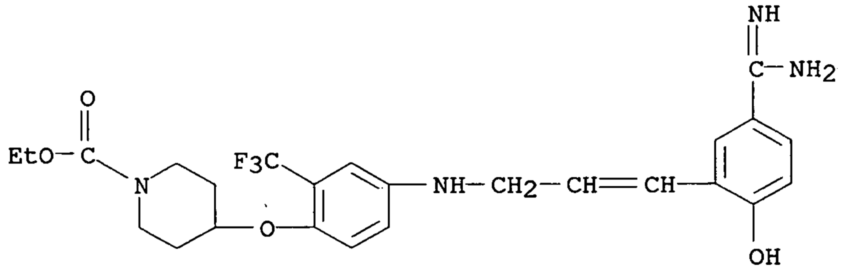


RN 325457-14-5 CAPLUS
CN Butanoic acid, 4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



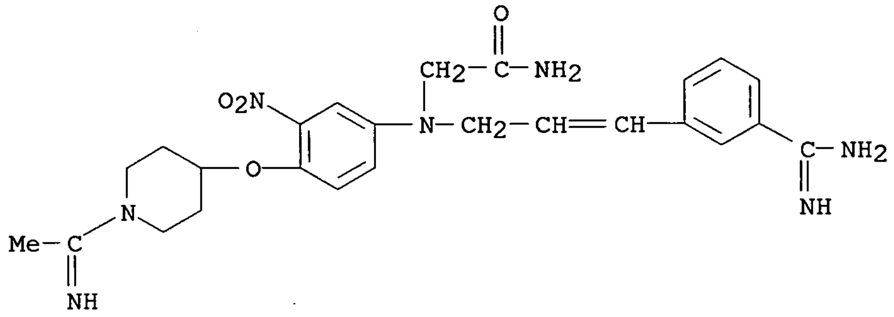
RN 325457-15-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]amino]-2-(trifluoromethyl)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



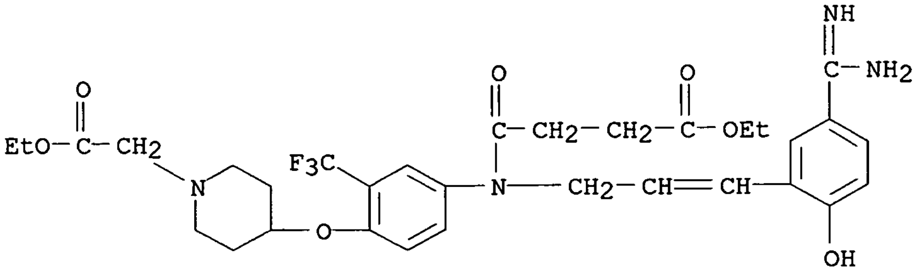
RN 325457-16-7 CAPLUS

CN Acetamide, 2-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-nitrophenyl]amino]- (9CI) (CA INDEX NAME)



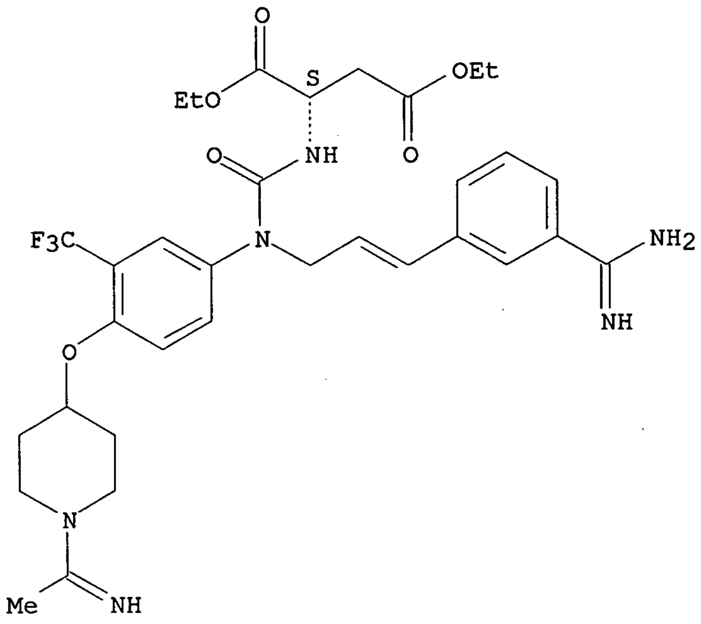
RN 325457-17-8 CAPLUS

CN 1-Piperidineacetic acid, 4-[4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl](4-ethoxy-1,4-dioxobutyl)amino]-2-(trifluoromethyl)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



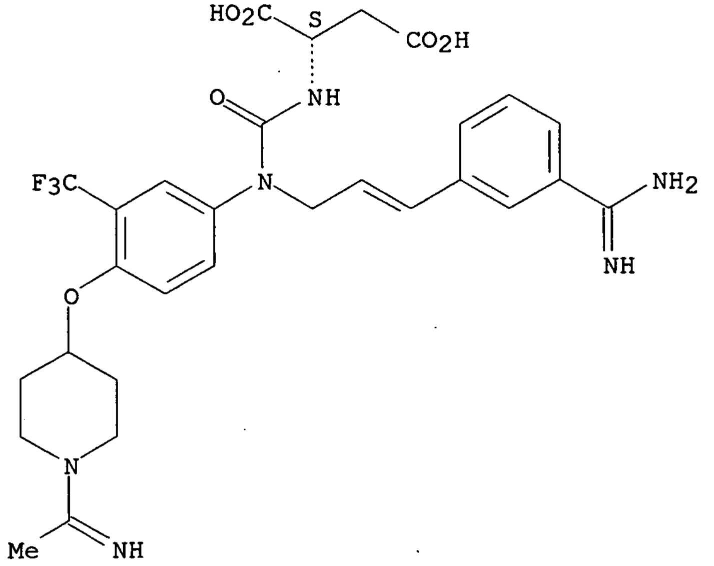
RN 325457-18-9 CAPLUS
CN L-Aspartic acid, N-[[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]carbonyl]-, diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

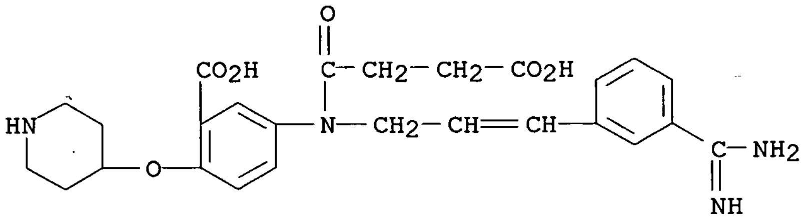


RN 325457-19-0 CAPLUS
CN L-Aspartic acid, N-[[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

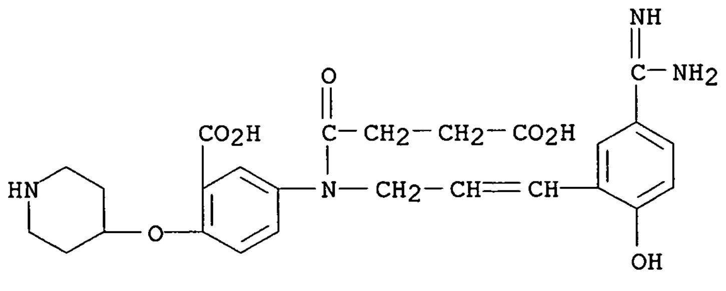
Absolute stereochemistry.
Double bond geometry unknown.



RN 325457-20-3 CAPLUS
CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-(4-piperidinyloxy)- (9CI) (CA INDEX NAME)



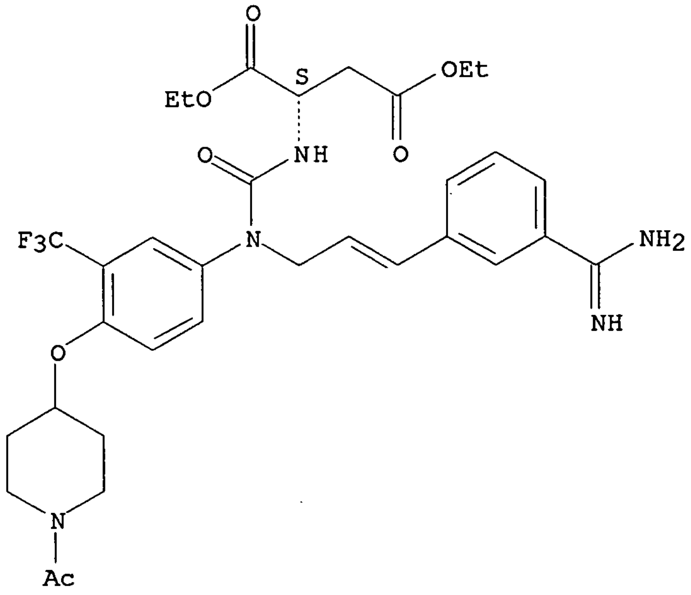
RN 325457-21-4 CAPLUS
CN Benzoic acid, 5-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-(4-piperidinyloxy)- (9CI) (CA INDEX NAME)



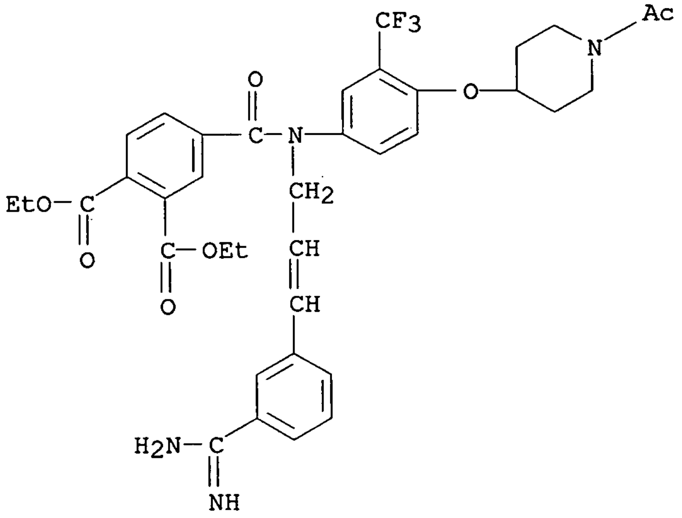
RN 325457-22-5 CAPLUS
CN L-Aspartic acid, N-[[[4-[(1-acetyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl][3-[3-(aminoiminomethyl)phenyl]-2-

propenyl]amino]carbonyl]-, diethyl ester (9CI) (CA INDEX NAME)

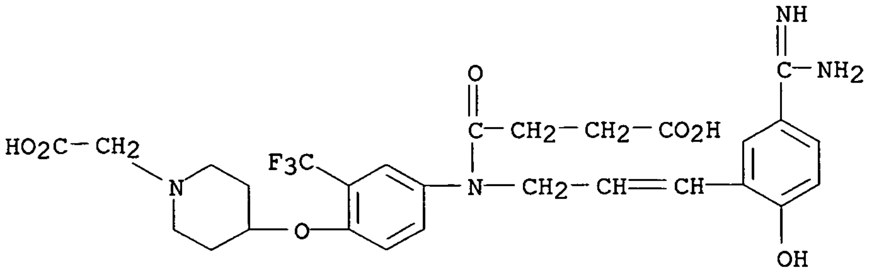
Absolute stereochemistry.
Double bond geometry unknown.



RN 325457-23-6 CAPLUS
CN 1,2-Benzenedicarboxylic acid, 4-[[[4-[(1-acetyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl][3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]carbonyl]-, diethyl ester (9CI) (CA INDEX NAME)



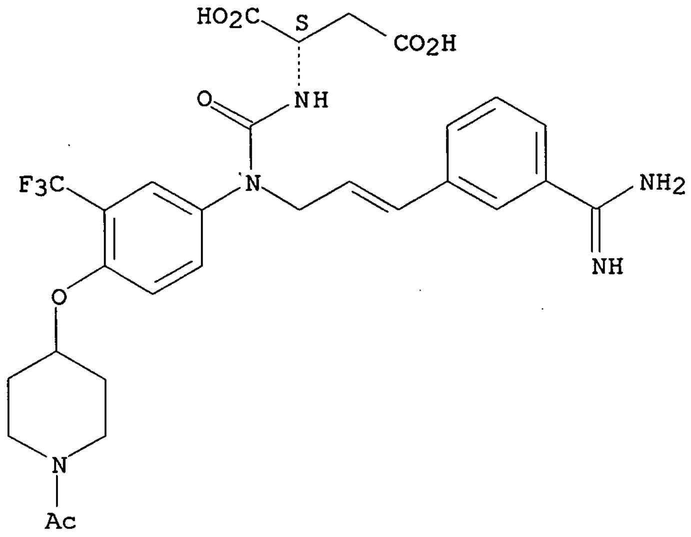
RN 325457-24-7 CAPLUS
CN 1-Piperidineacetic acid, 4-[4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



RN 325457-25-8 CAPLUS

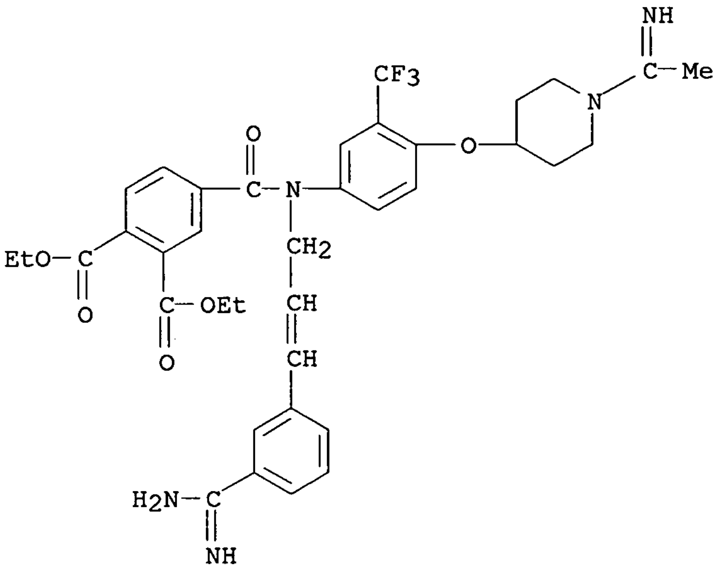
CN L-Aspartic acid, N-[[[4-[(1-acetyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl][3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 325457-26-9 CAPLUS

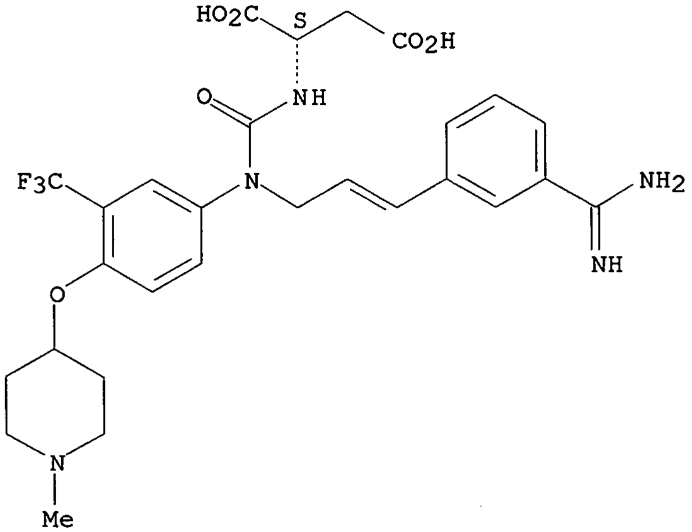
CN 1,2-Benzenedicarboxylic acid, 4-[[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]carbonyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 325457-27-0 CAPLUS

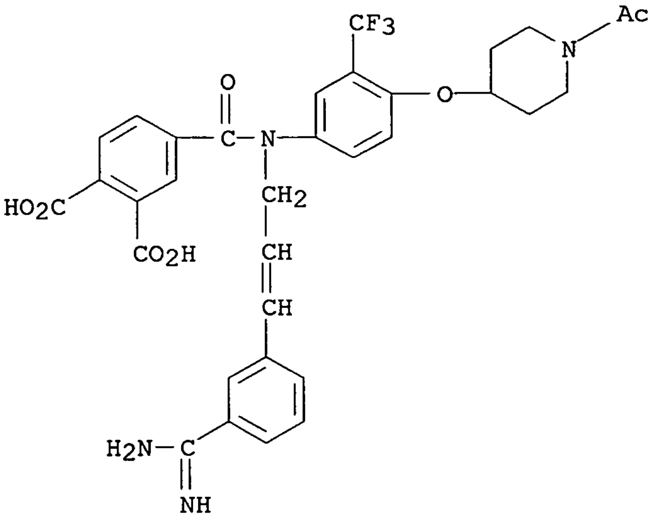
CN L-Aspartic acid, N-[[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

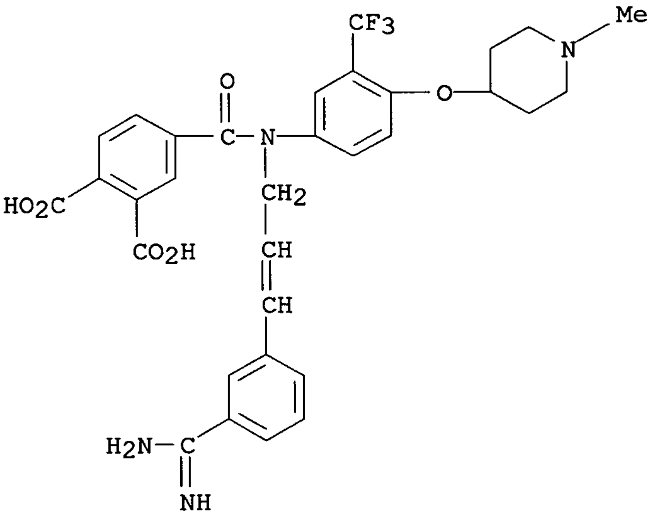


RN 325457-28-1 CAPLUS

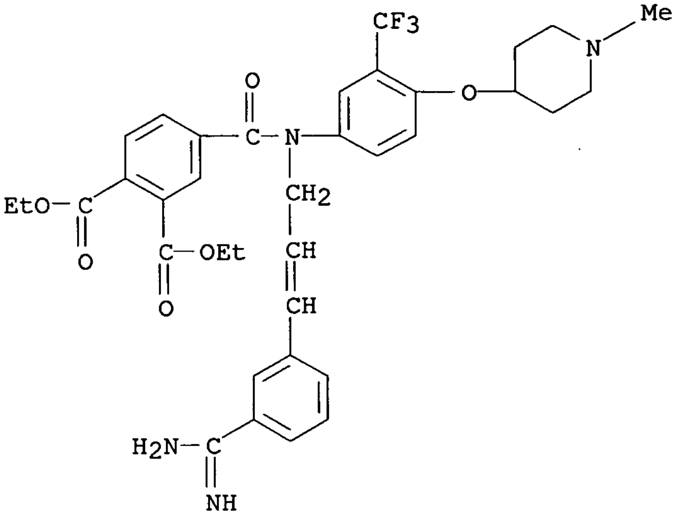
CN 1,2-Benzenedicarboxylic acid, 4-[[[4-[(1-acetyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl][3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 325457-29-2 CAPLUS
CN 1,2-Benzenedicarboxylic acid, 4-[[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

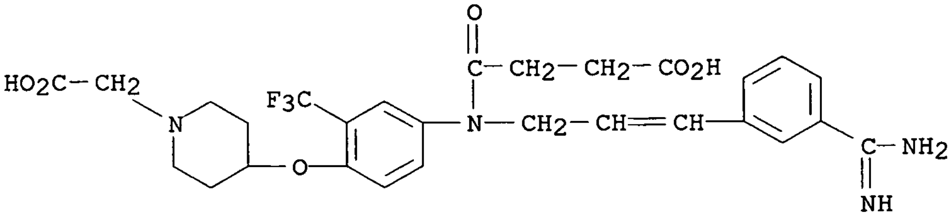


RN 325457-30-5 CAPLUS
CN 1,2-Benzenedicarboxylic acid, 4-[[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]amino]carbonyl]-, diethyl ester (9CI) (CA INDEX NAME)



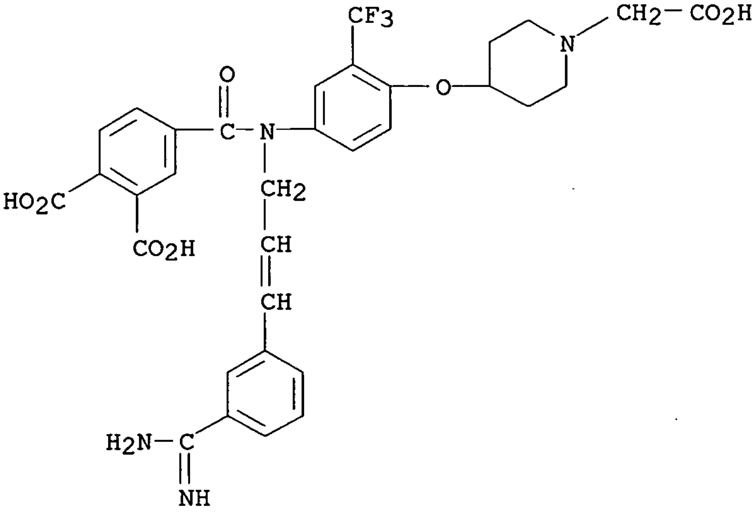
RN 325457-31-6 CAPLUS

CN 1-Piperidineacetic acid, 4-[4-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

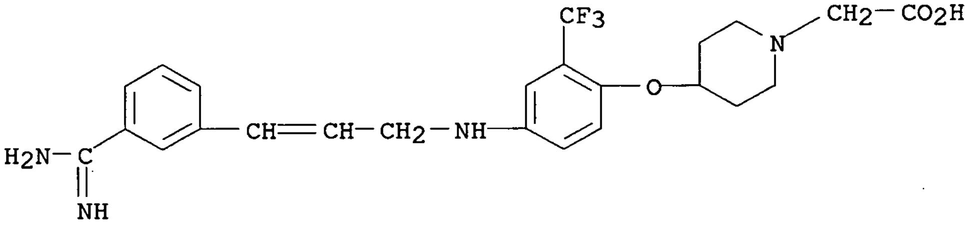


RN 325457-32-7 CAPLUS

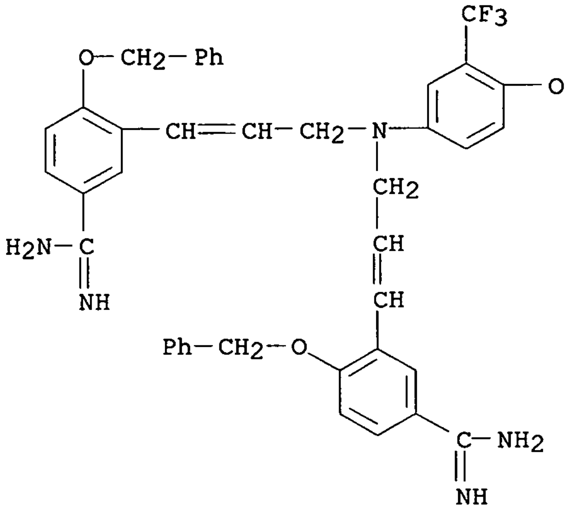
CN 1,2-Benzenedicarboxylic acid, 4-[[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(carboxymethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN   325457-33-8   CAPLUS
CN   1-Piperidineacetic acid, 4-[4-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]-2-(trifluoromethyl)phenoxy]- (9CI)   (CA INDEX NAME)

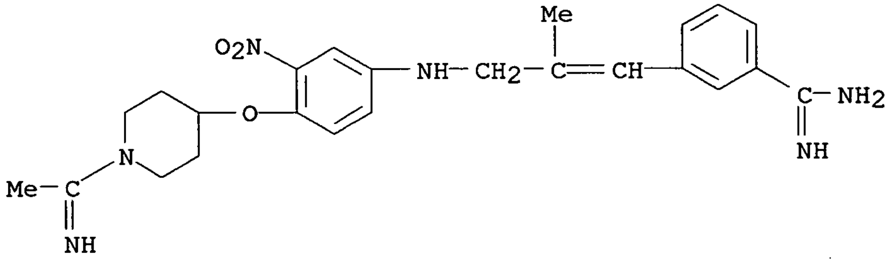


RN   325457-34-9   CAPLUS
CN   Benzenecarboximidamide, 3,3'-[[[4-(4-piperidinyloxy)-3-(trifluoromethyl)phenyl]imino]di-1-propene-3,1-diyl]bis[4-(phenylmethoxy)- (9CI)   (CA INDEX NAME)



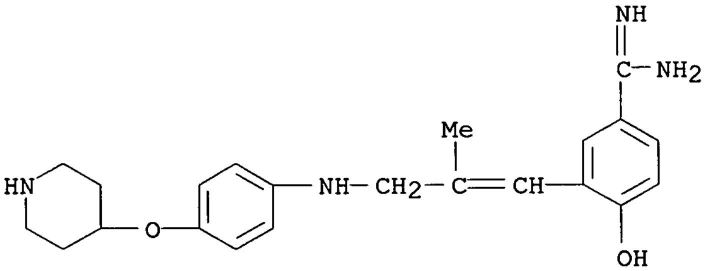
RN 325457-35-0 CAPLUS

CN Benzenecarboximidamide, 3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-nitrophenyl]amino]-2-methyl-1-propenyl]- (9CI) (CA INDEX NAME)



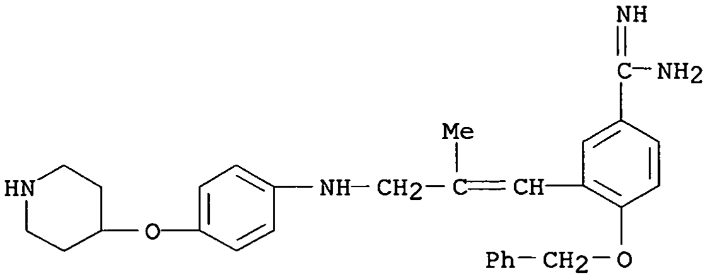
RN 325457-36-1 CAPLUS

CN Benzenecarboximidamide, 4-hydroxy-3-[2-methyl-3-[[4-(4-piperidinyloxy)phenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)

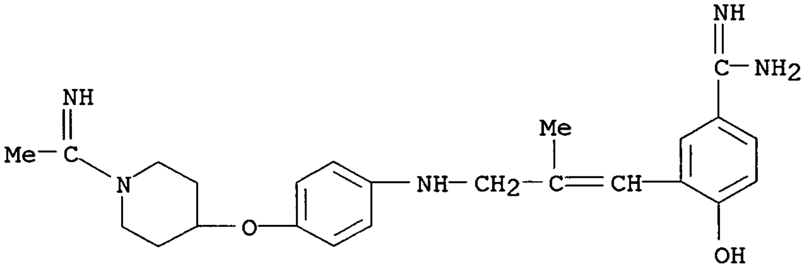


RN 325457-37-2 CAPLUS

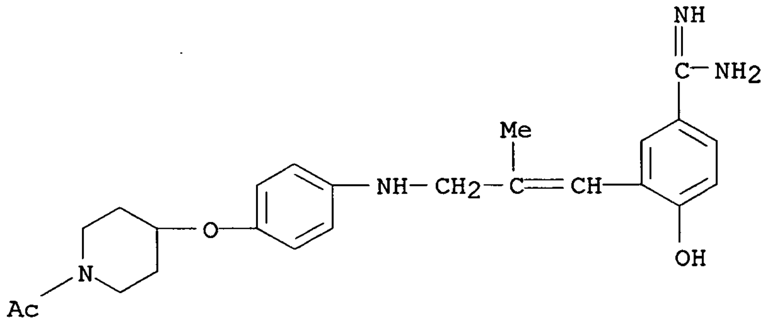
CN Benzenecarboximidamide, 3-[2-methyl-3-[[4-(4-piperidinyloxy)phenyl]amino]-1-propenyl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



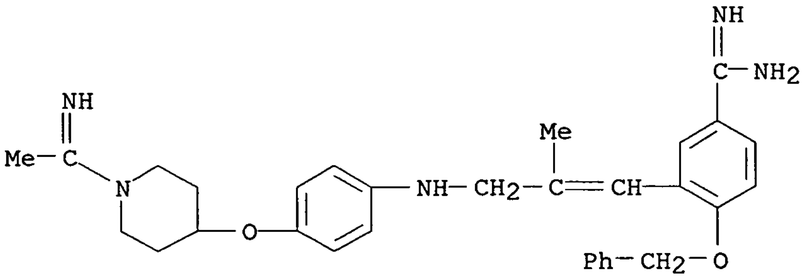
RN 325457-38-3 CAPLUS
CN Benzenecarboximidamide, 4-hydroxy-3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]-2-methyl-1-propenyl]- (9CI) (CA INDEX NAME)



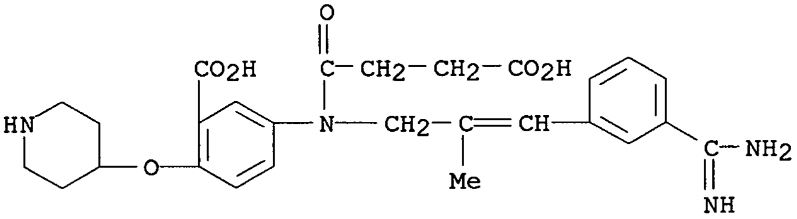
RN 325457-39-4 CAPLUS
CN Piperidine, 1-acetyl-4-[4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-methyl-2-propenyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



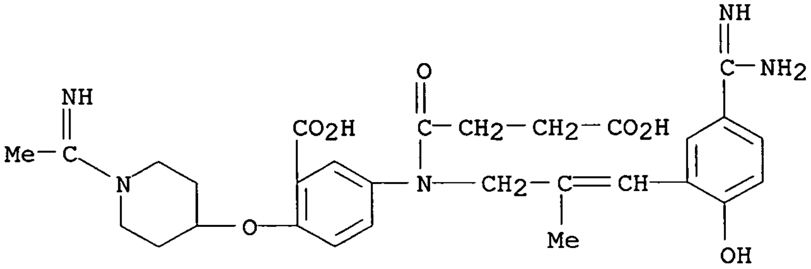
RN 325457-40-7 CAPLUS
CN Benzenecarboximidamide, 3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]-2-methyl-1-propenyl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



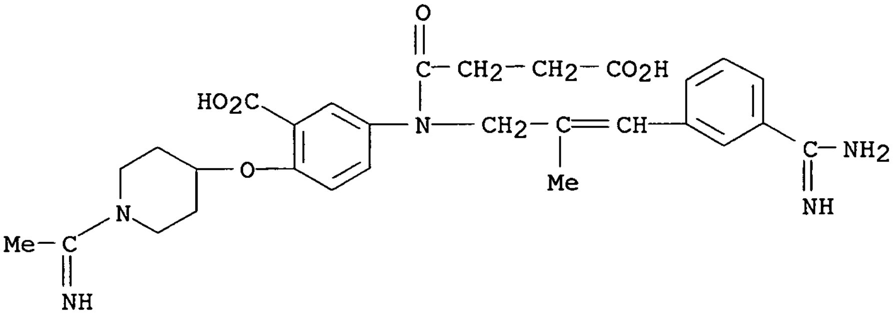
RN 325457-41-8 CAPLUS
CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-methyl-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-(4-piperidinyloxy)- (9CI) (CA INDEX NAME)



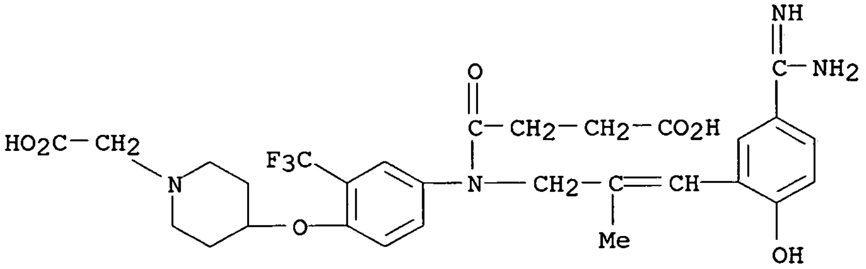
RN 325457-42-9 CAPLUS
CN Benzoic acid, 5-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-methyl-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



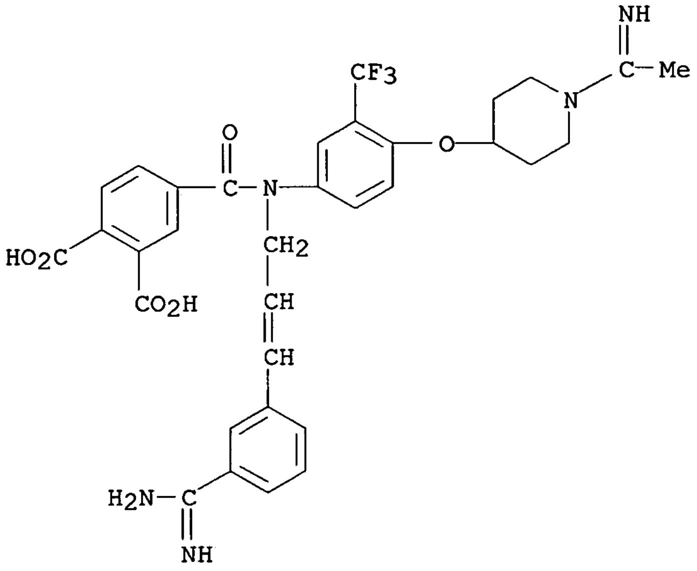
RN 325457-43-0 CAPLUS
CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-methyl-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



RN 325457-44-1 CAPLUS
CN 1-Piperidineacetic acid, 4-[4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-methyl-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



RN 325458-43-3 CAPLUS
CN 1,2-Benzenedicarboxylic acid, 4-[[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



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RE.CNT  11     THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5   ANSWER 2 OF 2  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2000:573773  CAPLUS
DN   133:177025
TI   Preparation of arylacrylamides and related compounds as inhibitors of
     Factor Xa.
IN   Song, Yonghong; Zhu, Bing-yan; Scarborough, Robert M.; Clizbe, Lane; Jia,
     Zhaozhong Jon; Su, Ting; Teng, Willy
PA   Cor Therapeutics Inc., USA
SO   PCT Int. Appl., 159 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 2
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   WO 2000047554        A2    20000817    WO 2000-US3405         20000211 <--
     WO 2000047554        A3    20010809
         W:  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2361428           AA    20000817    CA 2000-2361428        20000211 <--
     EP 1159264           A2    20011205    EP 2000-917623         20000211
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     US 6399627           B1    20020604    US 2000-501371         20000211
     JP 2002536432        T2    20021029    JP 2000-598475         20000211
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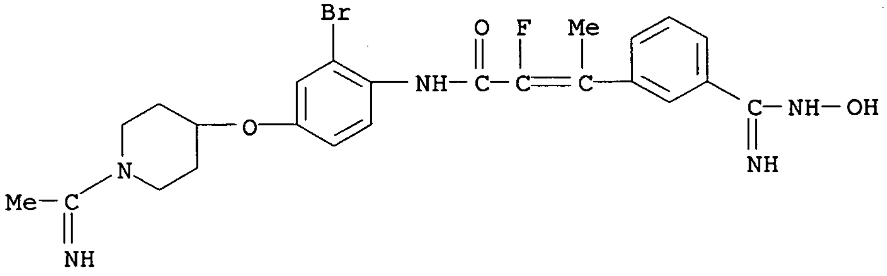
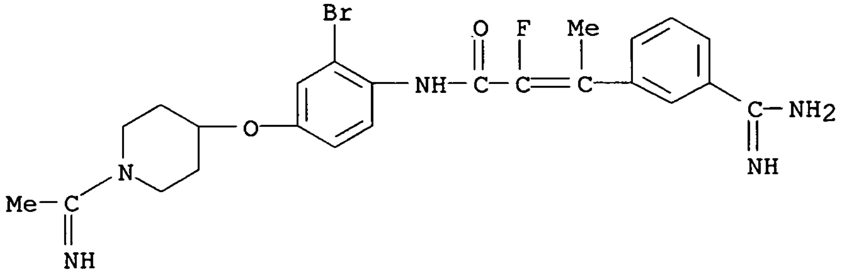
US 6545054 B1 20030408 US 2000-501370 20000211
PRAI US 1999-119640P P 19990211
WO 2000-US3405 W 20000211
OS MARPAT 133:177025
AB ABDECG1:CG2KL [A = (substituted) Ph, naphthyl, (aromatic) heterocyclyl; B = bond, CO, NR3, CR3aR3b, CONR3, SO2, O, SO2NR, NR3SO2, etc.; R3, R3a, R3b = H, alkyl, alkenyl, alkynyl, cycloalkyl, alkylphenyl, etc.; D = (substituted) Ph, heteroaryl; E = bond, CO, CONR5, SO2NR5, CH2SO2, etc.; R5 = H, OH, alkoxy, alkyl, alkenyl, alkynyl, cycloalkyl, alkylphenyl, etc.; K = (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl; L = H, cyano, CONR12R13, (CH2)nNR12R13, etc.; n = 0-2; R12, R13 = H, OR14, NR14R15, alkyl, (substituted) alkylphenyl, alkylnaphthyl, etc.; R14, R15 = H, alkyl, alkoxycarbonyl, CONH2, alkyl, etc.; G1, G2 = H, halo, alkyl, haloalkyl, cyano, NO2, alkenyl, alkynyl, cycloalkyl, cyanoalkyl, etc.], were prepared as inhibitors of Factor Xa (no data). Thus, [[2-(4-aminophenyl)phenyl]sulfonyl]tert-butylamine (preparation given) in CH2Cl2 was treated with Me3Al in hexane and then with Me 3-(3-cyanophenyl)acrylate to give 19% N-[4-[(2-tert-butylaminosulfonyl)phenyl]phenyl]-3-(3-cyanophenyl)acrylamide. The latter in MeOH was treated with HCl to give a residue which was refluxed with NH4OAc in MeOH to give 35% (2E)-N-[4-[(2-aminosulfonyl)phenyl]phenyl]-3-(3-amidinophenyl)-3-acrylamide.
IT **288308-52-1P 288308-66-7P 288308-95-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylacrylamides and related compds. as inhibitors of Factor Xa)
RN 288308-52-1 CAPLUS
CN 2-Butenamide, N-[2-bromo-4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]-2-fluoro-3-[3-[(hydroxyamino)iminomethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 288308-66-7 CAPLUS
CN 2-Butenamide, 3-[3-(aminoiminomethyl)phenyl]-N-[2-bromo-4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]-2-fluoro- (9CI) (CA INDEX NAME)



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RN   288308-95-2  CAPLUS
CN   2-Butenamide, 3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[2-bromo-4-[[1-(1-
     iminoethyl)-4-piperidinyl]oxy]phenyl]-2-fluoro- (9CI)  (CA INDEX NAME)
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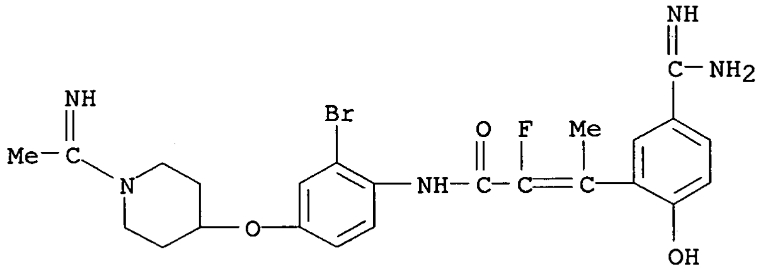

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=> s l4 not l5
L6           8 L4 NOT L5

=> dis l6 1-8 bib abs

L6   ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2004:411619  CAPLUS
DN   140:400071
TI   Blood-coagulation factor Xa inhibitors for prophylactic or therapeutic
     treatment of cerebral or myocardial infarction and peripheral circulation
     disorder
IN   Fujimoto, Koichi; Tanaka, Naoki; Shimada, Ikuko; Asai, Fumitoshi
PA   Sankyo Co., Ltd., Japan
SO   Jpn. Kokai Tokkyo Koho, 189 pp.
     CODEN: JKXXAF
DT   Patent
LA   Japanese
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ---------------     ----   --------    --------------------   --------
PI   JP 2004143164        A2    20040520    JP 2003-345161         20031003
PRAI JP 2002-290838       A     20021003
OS   MARPAT 140:400071
GI
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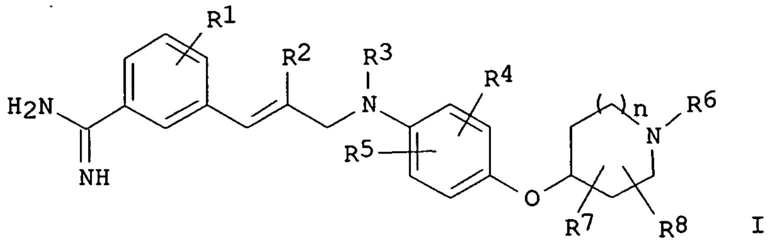
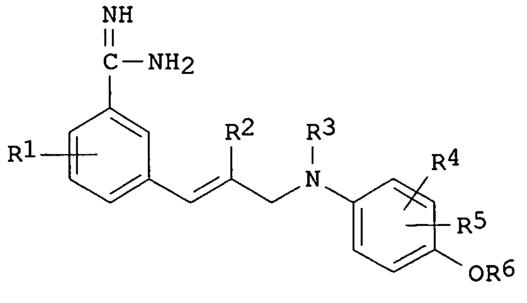

I

AB Title inhibitors contain benzamidines I [R1 = H, halo, C1-6 alkyl, OH; R2 = H, halo; R3 = H, C1-6 alkyl, C2-7 carboxyalkyl, C1-6 alkylsulfonyl, etc.; R4, R5 = H, halo, C1-6 (halo)alkyl, C1-6 alkoxy, CO2H, alkylcarbamoyl, etc.; R6 = H, C1-6 alkyl, C3-8 cycloalkyl, c7-16 aralkyl, C6-10 aryl, heterocyclyl, etc.; R7, R8 = H, C1-6 alkyl; R6R7 or R7R8 may be bonded to form C2-5 alkylene; n = 0-2], their pharmacol. acceptable salts, or their prodrugs. Thus, N-[3-(3-amidinophenyl)-2(E)-propenyl]-N-[3-chloro-4-(1-ethylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid 2HCl salt inhibited factor Xa with IC50 value of 10 nM.

L6 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:15495 CAPLUS
DN 138:66685
TI Factor Xa inhibitors containing benzamidine derivatives and their uses
IN Fujimoto, Koichi; Asai, Fumitoshi
PA Sankyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 165 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2003002832	A2	20030108	JP 2002-115729	20020418
PRAI	JP 2001-120523	A	20010419		

OS MARPAT 138:66685
GI


I

AB Factor Xa inhibitors, useful for prevention or treatment of blood coagulation disorders, cerebral infarction, myocardial infarction, peripheral circulatory disorders, etc., contain benzamidine derivs. I [R1 = H, halo, C1-6 alkyl, OH; R2 = H, halo, C1-6 alkyl; R3 = H, C1-6 alkyl which may be substituted with OH, CO2H, or C1-6 alkoxy-carbonyl, (CH2)nCO(CH2)mCO2R7 (R7 = C1-6 alkyl; m, n = 1-6), C7-15 aralkyl, C1-6 alkanoyl, C2-6 hydroxyalkanoyl, C1-6 alkylsulfonyl, C1-6 alkoxy-carbonyl, carboxyl-C1-6 alkylsulfonyl; R4, R5 = H, halo, C1-6 (halo)alkyl, C1-6 alkoxy, CO2H, C1-6 alkoxy-carbonyl, CONH2, C1-6 alkyl-carbamoyl, di(C1-6 alkyl)carbamoyl; R6 = 1-acetimidoylpyrrolidin-3-yl, 1-acetimidoylpiperidin-4-yl] or their pharmacol. acceptable salts. IC50 of N-[4-(1-acetimidoylpiperidin-4-yloxy)-3-chlorophenyl]-N-[3-(3-amidinophenyl)-2-(E)-propenyl]sulfamoylacetic acid dihydrochloride (II; preparation given) for human factor Xa was 7.4 nM. Pharmaceutical prepns. containing II were also formulated.

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:868737 CAPLUS
DN 137:369982
TI Preparation of 2-[3-[4-(4-piperidinyloxy)anilino]-1-propenyl]benzamidine derivatives and composition containing them for iontophoresis
IN Fujimoto, Koichi; Tanaka, Naoki; Shimada, Ikuko; Asai, Fumitoshi; Inoue, Kazuhiro; Okada, Junichi
PA Sankyo Company, Limited, Japan
SO PCT Int. Appl., 400 pp.
CODEN: PIXXD2
DT Patent
LA Japanese

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FAN.CNT 1
     PATENT NO.          KIND    DATE       APPLICATION NO.        DATE
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PI   WO 2002089803        A1     20021114   WO 2002-JP4422         20020507
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
        RW:  GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
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             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     JP 2003040773        A2     20030213   JP 2002-131052         20020507
PRAI JP 2001-136159       A      20010507
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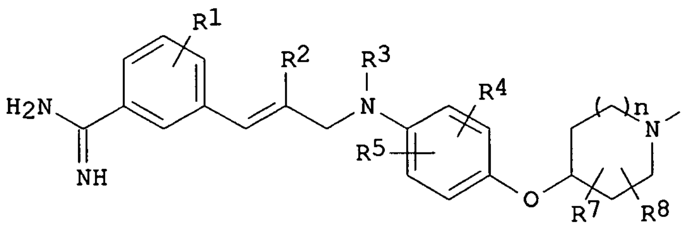
OS MARPAT 137:369982
GI



I

AB An iontophoresis composition for blood clotting factor X inhibitors which contains either a benzamidine derivative having the general formula (I) [wherein R1 = H, halo, alkyl, HO; R2 = H, halo, C1-6 alkyl; R3 = H, C1-6 alkyl, C1-6 hydroxyalkyl, C2-7 carboxyalkyl, C3-13 alkoxycarbonylalkyl,

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     C7-16 aralkyl, C2-7 aliphatic acyl, C2-7 hydroxy-aliphatic acyl, C1-6
     alkylsulfonyl, C3-13 alkoxycarbonylalkylsulfonyl, C2-7
     carboxyalkylsulfonyl, C3-8 carboxyalkylcarbonyl; R4, R5 = H, halo, C1-6
     alkyl, C1-6 haloalkyl, C1-6 alkoxy, CO2H, C2-7 alkoxycarbonyl, CONH2, C2-7
     monoalkyl or C3-13 dialkylcarbamoyl; R6 = H, C1-6 alkyl, C3-8 cycloalkyl,
     C7-16 aralkyl, heterocyclyl-C1-6 alkyl, C2-7 carboxyalkyl, C3-13
     alkoxycarbonylalkyl, C2-7 aliphatic acyl, C7-11 aromatic acyl, CONH2, C1-6
     alkylsulfonyl, C6-10 aryl, heterocyclyl, formimidoyl, C2-7 1-iminoalkyl,
     C2-7 N-alkylformimidoyl, C7-11 iminoarylmethyl; R7, R8 = H, C1-6 alkyl; or
     R6 and R7 or R7 and R8 together represent C2-5 alkylene; n = 0, 1,2] or a
     pharmacol. acceptable salt of the derivative is disclosed.  The compds. I are
     readily absorbed through skin and useful as remedies or preventives for
     thrombus or embolus by iontophoresis.  Thus, 0.39 g Et acetimidate
     hydrochloride and 0.87 mL Et3N were added to a solution of
     [N-[(E)-3-(3-amidinophenyl)-2-methyl-2-propenyl]-N-[3-carbamoyl-4-
     (piperidin-4-yloxy)phenyl]sulfamoyl]acetic acid Et ester in 20 mL ethanol
     and stirred at room temperature for 6 h to give 75% [N-[4-((1-
     acetimidoylpiperidin-4-yl)oxy)-3-carbamoyl-N-[(E)-3-(3-amidinophenyl)-2-
     methyl-2-propenyl]phenyl]sulfamoyl]acetic acid Et ester dihydrochloride
     which (0.64 g) was dissolved in 20 mL 3 N aqueous HCl and heated at 80°
     for 2 h to give [N-[4-((1-acetimidoylpiperidin-4-yl)oxy)-3-
     carbamoylphenyl]-N-[(E)-3-(3-amidinophenyl)-2-methyl-2-
     propenyl]sulfamoyl]acetic acid dihydrochloride (II).  II in vitro
     exhibited an iontophoresis skin permeability (flux) of 90±7 μg/h/cm2
     using a hairless mice skin at skin current of 100 μA/cm2.  The 15
     compds. I exhibited higher skin permeability compared to two reference compds.
RE.CNT  6     THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6   ANSWER 4 OF 8  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2002:793603  CAPLUS
DN   137:310926
TI   Preparation of benzamidine derivatives as inhibitors of activated blood
     coagulation factor X
IN   Fujimoto, Koichi; Tanaka, Naoki; Shimada, Ikuko; Asai, Fumitoshi
PA   Sankyo Company, Limited, Japan
SO   PCT Int. Appl., 314 pp.
     CODEN: PIXXD2
DT   Patent
LA   Japanese
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ---------------     ----   --------    --------------------   --------
PI   WO 2002081448        A1    20021017    WO 2002-JP3355         20020403
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2442904           AA    20021017    CA 2002-2442904        20020403
     EP 1375482           A1    20040102    EP 2002-714444         20020403
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     BR 2002008678         A       20040330    BR 2002-8678            20020403
     NZ 528517             A       20040827    NZ 2002-528517          20020403
     JP 2002363159         A2      20021218    JP 2002-102486          20020404
     ZA 2003007646         A       20040713    ZA 2003-7646            20030930
     NO 2003004439         A       20031202    NO 2003-4439            20031003
     US 2004147555         A1      20040729    US 2003-679215          20031003
PRAI JP 2001-107615        A       20010405
     WO 2002-JP3355        W       20020403
OS   MARPAT 137:310926
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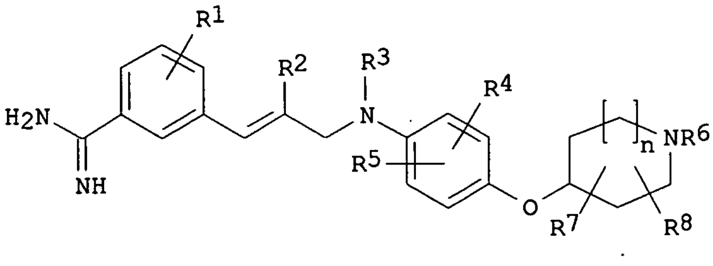

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AB   The title compds. I [R1 represents a hydrogen atom, a halogen atom, an
     alkyl group or a hydroxyl group, R2 represents a hydrogen atom or a
     halogen atom, R3 represents a hydrogen atom, an alkyl group optionally
     substituted, an aralkyl group, an alkylcarbonyl group optionally
     substituted, an alkylsulfonyl group optionally substituted, or the like,
     R4 and R5 each represent a hydrogen atom, a halogen atom, an alkyl or
     carbamoyl group optionally substituted, or the like, R6 represents a
     hetero-ring or the like, R7 and R8 each represent a hydrogen atom, an
     alkyl group, or the like, and n represents 0,1 or 2] are prepared  I are
     useful in the therapy or prevention of blood coagulation diseases.
     Compds. of this invention in vitro showed IC50 values of 5.8 nM to 15 nM
     against factor Xa.  Formulations are given.
RE.CNT  7      THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6   ANSWER 5 OF 8  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2002:418361  CAPLUS
DN   138:82896
TI   Design, synthesis and biological activity of YM-60828 derivatives: potent
     and orally-Bioavailable factor Xa inhibitors based on naphthoanilide and
     naphthalensulfonanilide templates
AU   Hirayama, Fukushi; Koshio, Hiroyuki; Ishihara, Tsukasa; Watanuki, Susumu;
     Hachiya, Shunichiro; Kaizawa, Hiroyuki; Kuramochi, Takahiro; Katayama,
     Naoko; Kurihara, Hiroyuki; Taniuchi, Yuta; Sato, Kazuo; Sakai-Moritani,
     Yumiko; Kaku, Seiji; Kawasaki, Tomihisa; Matsumoto, Yuzo; Sakamoto,
     Shuichi; Tsukamoto, Shin-ichi
CS   Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co.,
     Ltd., Ibaraki, 305-8585, Japan
SO   Bioorganic & Medicinal Chemistry (2002), 10(8), 2597-2610
     CODEN: BMECEP; ISSN: 0968-0896
PB   Elsevier Science Ltd.
DT   Journal
LA   English
OS   CASREACT 138:82896
```
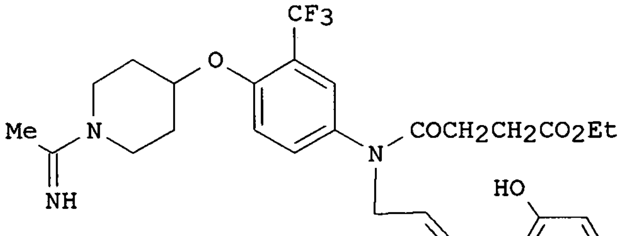

AB Factor Xa (FXa) is a serine protease which plays a pivotal role in the coagulation cascade. The inhibition of FXa has received great interest as a potential target for the development of new antithrombotic drug. Herein we describe a series of novel 7-amidino-2-naphthoanilide and 7-amidino-2-naphthalensulfonanilide derivs. which are potent FXa inhibitors. These scaffolds are rigid and are allowed to adopt an L-shape conformation which was estimated as the active conformation based on a docking study of YM-60828 with FXa. Optimization of the side chain at the central aniline nitrogen of 7-amidino-2-naphthoanilide has led to several potent and orally active FXa inhibitors. YM-169964, the best compound of these series, showed potent FXa inhibitory activity (IC50=3.9 nM) and effectively prolonged prothrombin time by 9.6-fold ex vivo at an oral dose of 3 mg/kg in squirrel monkeys.

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:287808 CAPLUS
DN 137:279065
TI Design and synthesis of aminophenol-based factor Xa inhibitors
AU Wu, Shung; Guilford, William J.; Chou, Yuo-Ling; Griedel, Brian D.; Liang, Amy; Sakata, Steve; Shaw, Kenneth J.; Trinh, Lan; Xu, Wei; Zhao, Zuchun; Morrissey, Michael M.
CS Berlex Biosciences, Discovery Research, Richmond, CA, 94804-0099, USA
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(9), 1307-1310
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 137:279065
GI



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AB A novel potent and selective aminophenol scaffold for fXa inhibitors was developed from a previously reported benzimidazole-based naphthylamidine template. The aminophenol template is more synthetically accessible than the benzimidazole template, which simplified the introduction of carboxylic acid groups. Substitution of a propenyl-p-hydroxybenzamidine group on the aminophenol template produced selective, sub-nanomolar fXa

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     inhibitors.  The potency of the inhibitors is partially explained with the
     aid of a trypsin complex crystal structure.  Thus, the amidine I had Ki
     for inhibition of fXa 0.07 nM, vs. fIIa 2280 and trypsin 230 nM.
RE.CNT  10     THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6   ANSWER 7 OF 8  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2002:170731  CAPLUS
DN   137:226173
TI   The Discovery of YM-60828: A Potent, Selective and Orally-Bioavailable
     Factor Xa Inhibitor
AU   Hirayama, Fukushi; Koshio, Hiroyuki; Katayama, Naoko; Kurihara, Hiroyuki;
     Taniuchi, Yuta; Sato, Kazuo; Hisamichi, Nami; Sakai-Moritani, Yumiko;
     Kawasaki, Tomihisa; Matsumoto, Yuzo; Yanagisawa, Isao
CS   Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co.,
     Ltd., Tsukuba, Ibaraki, 305-8585, Japan
SO   Bioorganic & Medicinal Chemistry (2002), 10(5), 1509-1523
     CODEN: BMECEP; ISSN: 0968-0896
PB   Elsevier Science Ltd.
DT   Journal
LA   English
OS   CASREACT 137:226173
GI
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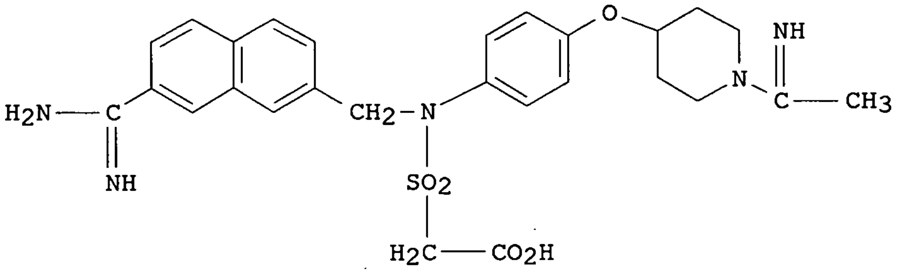

I

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AB   Since Factor Xa (FXa) is well known to play a central role in thrombosis
     and hemostasis, inhibition of FXa is an attractive target for
     antithrombotic strategies.  As a part of our investigation of a
     non-peptide, orally available FXa inhibitor, we found that a series of
     N-[(7-amidino-2-naphthyl)methyl]aniline derivs. possessed potent and
     selective inhibitory activities.  Structure-activity relation (SAR) of the
     substituent (R1) on the central aniline moiety suggested that increasing
     lipophilicity caused a detrimental effect on anticoagulant activity
     (prothrombin time assay) in plasma.  Several compds. bearing a hydrophilic
     substituent in R1 showed not only potent FXa inhibitory activities but
     also high anticoagulant activities.  The best compound in this series was
     sulfamoylacetic acid derivative YM-60828 (I) which was a potent, selective and
     orally bioavailable FXa inhibitor and was chosen for clin. development.
RE.CNT  35     THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6   ANSWER 8 OF 8  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2001:319870  CAPLUS
DN   134:340355
TI   Preparation of benzamidine derivatives as blood coagulation factor X
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     inhibitors
IN   Fujimoto, Koichi; Asai, Fumitoshi; Matsuhashi, Hayao
PA   Sankyo Co., Ltd., Japan
SO   PCT Int. Appl., 386 pp.
     CODEN: PIXXD2
DT   Patent
LA   Japanese
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   WO 2001030756        A1    20010503    WO 2000-JP7469         20001025
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             US, ZA
         RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE
     CA 2389156           AA    20010503    CA 2000-2389156        20001025
     AU 2000079574        A5    20010508    AU 2000-79574          20001025
     AU 776193            B2    20040902
     BR 2000015120        A     20020625    BR 2000-15120          20001025
     EP 1245564           A1    20021002    EP 2000-970070         20001025
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL
     TR 200201654         T2    20021223    TR 2002-200201654      20001025
     RU 2222529           C2    20040127    RU 2002-111343         20001025
     NZ 518581            A     20041126    NZ 2000-518581         20001025
     JP 2001192366        A2    20010717    JP 2000-327906         20001027
     ZA 2002003278        A     20030826    ZA 2002-3278           20020424
     US 6555556           B1    20030429    US 2002-132042         20020425
     NO 2002001990        A     20020625    NO 2002-1990           20020426
     US 2004010009        A1    20040115    US 2002-288838         20021106
     US 2004248981        A1    20041209    US 2003-704355         20031107
PRAI JP 1999-307192       A     19991028
     WO 2000-JP7469       W     20001025
     US 2002-132042       A1    20020425
     US 2002-288838       B1    20021106
OS   MARPAT 134:340355
GI


* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

AB   Title compds. [I; R1 is hydrogen, halogeno, alkyl, or hydroxyl; R2 is
     hydrogen, halogeno, or alkyl; R3 is hydrogen, optionally substituted
     alkyl, aralkyl, optionally substituted alkanoyl, or optionally substituted
     alkylsulfonyl; R4 and R5 are each independently hydrogen, halogeno,
     optionally substituted alkyl, alkoxy, carbonyl, alkoxycarbonyl, or
     optionally substituted carbamoyl; and R6 is substituted pyrrolidine or
     substituted piperidine] or pharmacol. acceptable salts are prepared as
     inhibitors against activated blood coagulation factor X and are useful as
     therapeutic or preventive drugs for diseases related to blood coagulation.
     Thus, the title compound II·2HCl was prepared and biol. tested as blood
     coagulation factor X inhibitor with IC50 = 3.7 nM.
RE.CNT  3     THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
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COST IN U.S. DOLLARS                              SINCE FILE     TOTAL
                                                       ENTRY   SESSION
FULL ESTIMATED COST                                    33.87    195.41

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)        SINCE FILE     TOTAL
                                                       ENTRY   SESSION
CA SUBSCRIBER PRICE                                    -7.30     -7.30

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